STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 157342

TO: Rebecca Cook
Location: REM-3A71/3C70
Art Unit: 1614
Tuesday, July 12, 2005
Case Serial Number: 10/694644

From: John DiNatale
Location: Biotech-Chem Library
REM-1B65
Phone: (571)272-2557

john.dinatale@uspto.gov

Search Notes

Examiner Cook,

See attached results.

If you have any questions about this search feel free to contact me at any time.

Thank you for using STIC search services!

John DiNatale
Technical Information Specialist
STIC Biotech/Chem Library
(571)272-2557

157342

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Rebecca Cook Examiner #: 69824 Date: 6/23/05

Art Unit: 1614 Phone Number 30 (STIC) Serial Number: 10/694644

Mail Box and Bldg/Room Location: 3C70 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): Simon Fraser Campbell

_____

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search compound of claim 8 for known uses in Medline, Caplus, Embase & Biosis.

Also, what is structure of highlighted compound of claim 2. attached

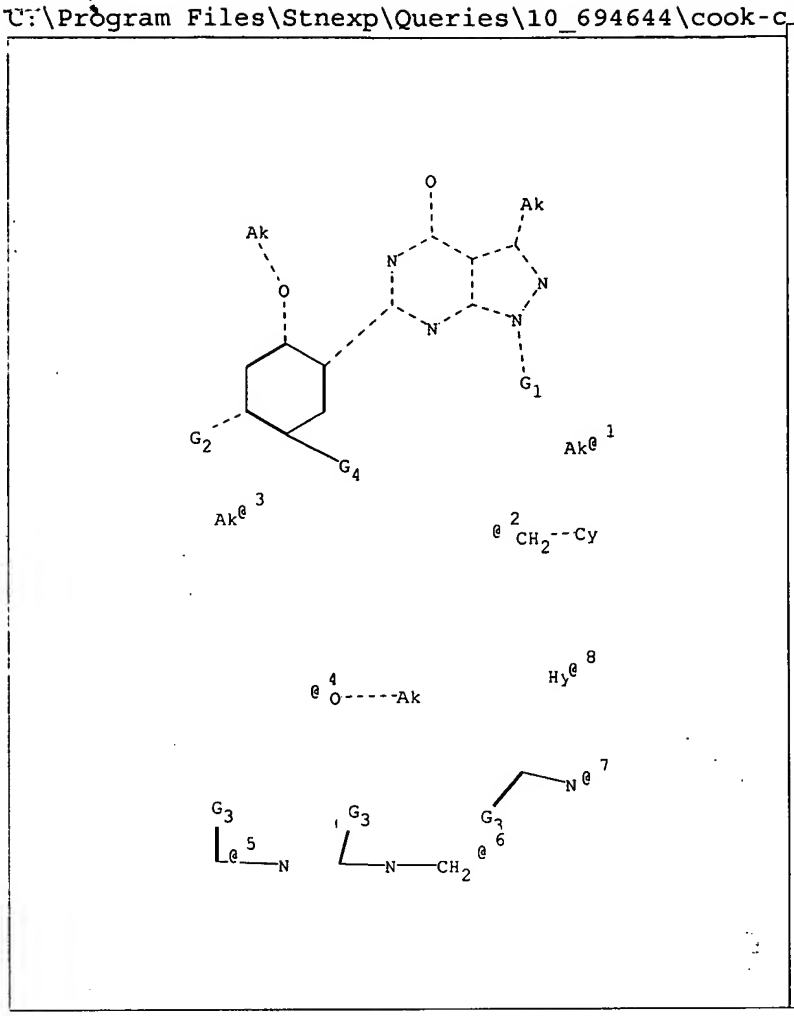
Thank you
Rebecca

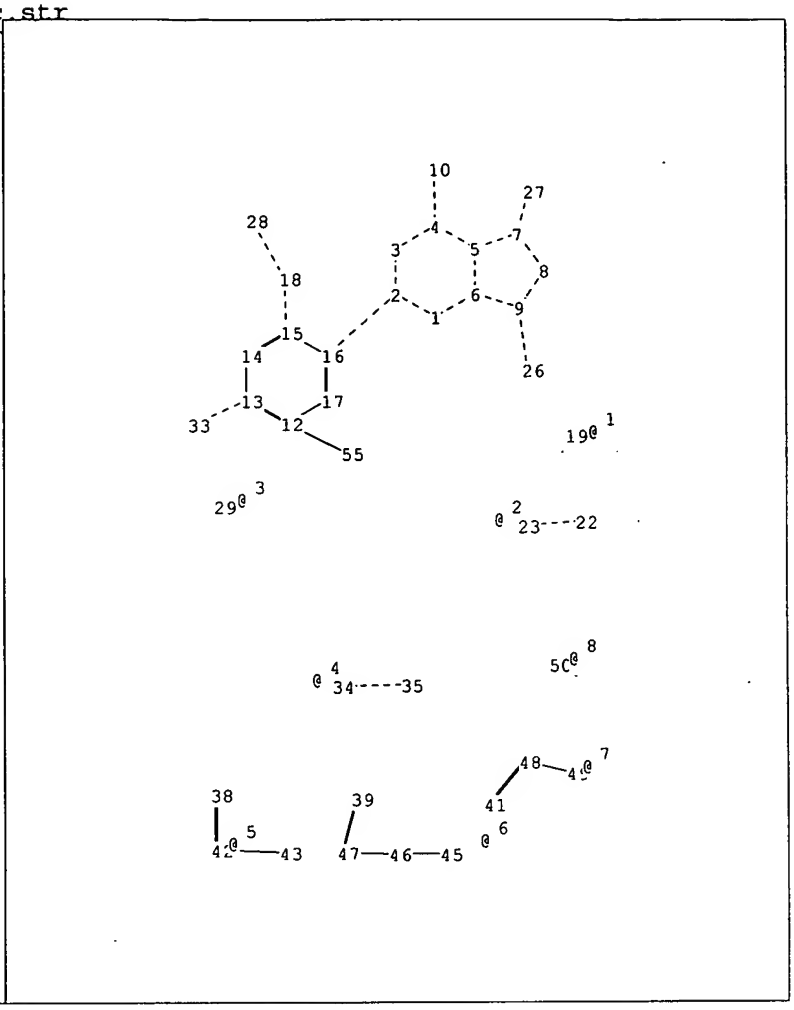
****

STAFF USE ONLY	Type of Search		Vendors and cost where applicable	
Searcher:	NA Sequence (#)		STN	
Searcher Phone #:	AA Sequence (#)		Dialog	
Searcher Location:	Structure (#)		Questel/Orbit	
Date Searcher Picked Up:	Bibliographic		Dr.Link	
Date Completed:	Litigation		Lexis/Nexis	
Searcher Prep & Review Time:	Fulltext		Sequence Systems	
Clerical Prep Time:	Patent Family		WWW/Internet	
Online Time	Other		Other (specify)	

PTO-1590 (8-01)

C:\Program Files\Stnexp\Queries\10_694644\cook-c.str





chain nodes :
10 18 19 22 23 26 27 28 29 33 34 35 38 39 41 42 43 45 50 55
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
ring/chain nodes :
46 47 48 49
chain bonds :
2-16 4-10 7-27 9-26 12-55 13-33 15-18 18-28 22-23 34-35 38-42 39-47 41-48
42-43 45-46
ring/chain bonds :
46-47 48-49
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
1-2 1-6 2-3 2-16 3-4 4-5 4-10 5-6 5-7 6-9 7-8 7-27 8-9 9-26 12-55 13-33
15-18 18-28 22-23 34-35 38-42 39-47 41-48 42-43 46-47 48-49
exact bonds :
45-46
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17

G1:[*1],[*2]

G2:H,[*3]

G3:O,S,NH

L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:761961 CAPLUS
DOCUMENT NUMBER: 123:340173
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.

Searched by John DiNatale 571-272-2557

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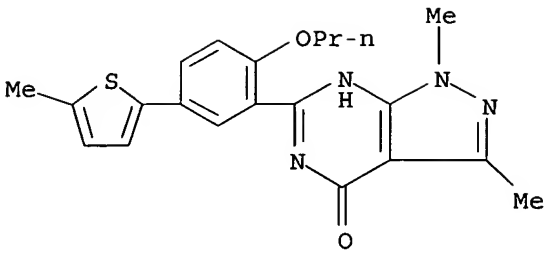
G4:CN,NO2,H,[*4],[*5],[*6],[*7],[*8]

Connectivity :
    4:3 E exact RC ring/chain 10:1 E exact RC ring/chain
Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 12:Atom
    13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 22:Atom 23:CLASS
    26:CLASS 27:CLASS 28:CLASS 29:CLASS 33:CLASS 34:CLASS 35:CLASS 38:CLASS 39:CLASS
    41:CLASS 42:CLASS 43:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 50:Atom
    55:CLASS
Generic attributes :
    19:
    Number of Carbon Atoms : less than 7
    22:
    Saturation   : Unsaturated

Element Count :
    Node 19: Limited
        C,C1-6

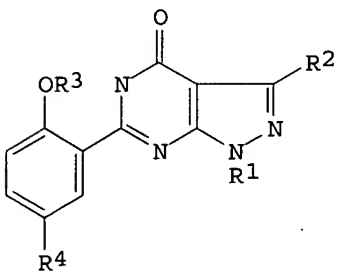
    Node 29: Limited
        C,C1-6



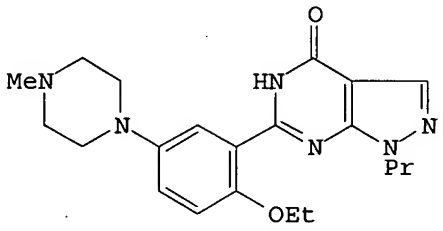
L11 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:495549 CAPLUS
DOCUMENT NUMBER: 119:95549
TITLE: Pyrazolopyrimidinone antianginal agents
INVENTOR(S): Bell, Andrew Simon; Terrett, Nicholas Kenneth
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9307149	A1	19930415	WO 1992-EP2237	19920924
W: CA, FI, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
PRIORITY APPLN. INFO.:			GB 1991-21028 A	19911003

OTHER SOURCE(S): MARPAT 119:95549
GI



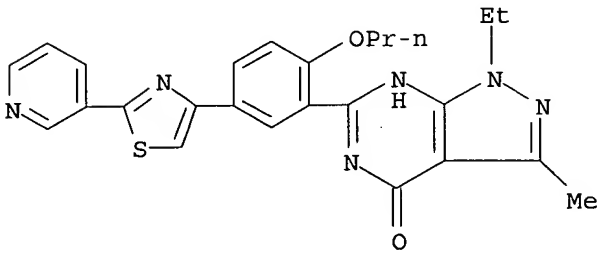
I



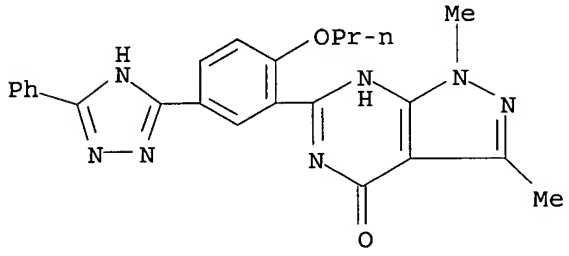
II

AB The title compds. 1,5-dihydro-6-(2-alkoxyphenyl)-4H-pyrazolo[3,4-d]pyrimidin-4-ones I (R1-4 = alkyl) and their pharmaceutically acceptable salts are claimed. I are cyclic guanosine 3',5'-monophosphate phosphodiesterase inhibitors. The use of I for the treatment of angina, hypertension, congestive heart failure, atherosclerosis, stroke, peripheral vascular disease, chronic asthma, bronchitis, glaucoma, and diseases characterized by gut motility is claimed. Treatment of 6-[5-(chlorosulfonyl)-2-ethoxyphenyl]-1,5-dihydro-1-propyl-4H-pyrazolo[3,4-d]pyrimidin-4-one with 1-methylpiperazine gave 6-[2-ethoxy-5-[(4-methylpiperazinyl)sulfonyl]phenyl]-1,5-dihydro-1-propyl-4H-pyrazolo[3,4-d]pyrimidin-4-one (II). The cyclic guanosine 3',5'-monophosphate

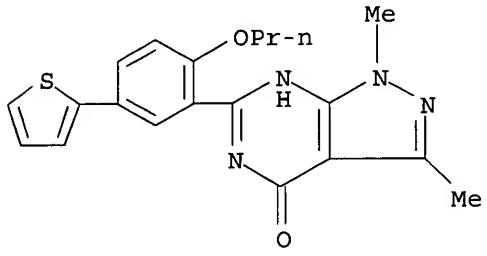
RN 168464-88-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[2-propoxy-5-[2-(3-pyridinyl)-4-thiazolyl]phenyl]- (9CI) (CA INDEX NAME)



RN 168464-90-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(5-phenyl-1H-1,2,4-triazol-3-yl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



RN 168464-91-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[2-propoxy-5-(2-thienyl)phenyl]- (9CI) (CA INDEX NAME)



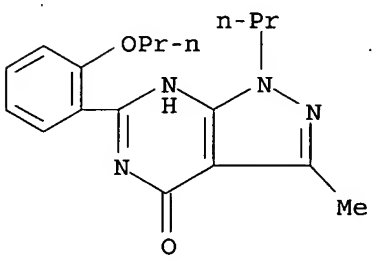
RN 168464-92-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(5-methyl-2-thienyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)

phosphodiesterase-inhibiting IC50 of II was 8.6 nM and the cyclic adenosine 3',5'-monophosphate phosphodiesterase-inhibiting IC50 was 52,000 nM.

IT **148872-11-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antianginal agent)

RN 148872-11-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



L11 ANSWER 10 OF 13 USPATFULL on STN DUPLICATE 1

ACCESSION NUMBER: 2003:24194 USPATFULL

TITLE: Use of PDE V inhibitors for improved fecundity in mammals

INVENTOR(S): Simon Lempriere, Westbrook, Sandwich, UNITED KINGDOM
Johannes Fridrich, Zanzinger, Sandwich, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003018037	A1	20030123
	US 6743799	B2	20040601
APPLICATION INFO.:	US 2002-229534	A1	20020827 (10)

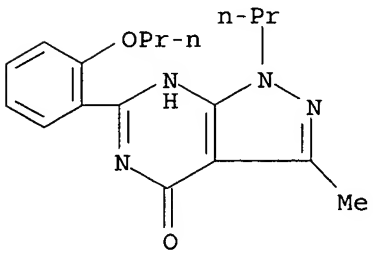
RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-982445, filed on 18 Oct 2001, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-25782	20001020
	US 2000-253338P	20001128 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: KOHN & ASSOCIATES, PLLC, Suite 410, 30500 Northwestern Highway, Farmington Hills, MI, 48334
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Page(s)
LINE COUNT: 901
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of a cyclic guanosine 3', 5'-monophosphate phosphodiesterase type five (cGMP PDE V) inhibitor for increasing fecundity in a mammal by one or more of (a) promoting the growth of an oocyte, zygote, blastocyst, embryo and/or foetus, (b) increasing the rate or probability of survival of an embryo and/or foetus and (c) increasing the birth weight of a progeny, or for increasing milk productivity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **148872-11-1**
(use of PDE V inhibitors for improved fecundity in mammals)
RN 148872-11-1 USPATFULL
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



L11 ANSWER 11 OF 13 USPATFULL on STN DUPLICATE 2

ACCESSION NUMBER:	2003:24193 USPATFULL		
TITLE:	Use of PDE V inhibitors for improved fecundity in mammals		
INVENTOR(S):	Westbrook, Simon Lempriere, Kent, UNITED KINGDOM Zanzinger, Johannes Fridrich, Kent, UNITED KINGDOM		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003018036	A1	20030123
	US 6548508	B2	20030415
APPLICATION INFO.:	US 2001-982445	A1	20011018 (9)
	NUMBER		DATE
PRIORITY INFORMATION:	GB 2000-25782		20001020
	US 2000-253338P		20001128 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Paul H. Ginsburg, Pfizer Inc, 20th Floor, 235 East 42nd Street, New York, NY, 10017-5755		
NUMBER OF CLAIMS:	21		
EXEMPLARY CLAIM:	1		
LINE COUNT:	896		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to the use of a cyclic guanosine 3', 5'-monophosphate phosphodiesterase type five (cGMP PDE V) inhibitor for increasing fecundity in a mammal by one or more of (a) promoting the growth of an oocyte, zygote, blastocyst, embryo and/or fetus, (b) increasing the rate or probability of survival of an embryo and/or foetus and (c) increasing the birth weight of a progeny, or for increasing milk productivity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **148872-11-1**
(use of PDE V inhibitors for improved fecundity in mammals)
RN 148872-11-1 USPATFULL
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)

```
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DICTIONARY FILE UPDATES:  11 JUL 2005  HIGHEST RN 854584-06-8

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

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Experimental and calculated property data are now available. For more
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http://www.cas.org/ONLINE/DBSS/registryss.html

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FILE COVERS 1907 - 12 Jul 2005  VOL 143 ISS 3
FILE LAST UPDATED: 11 Jul 2005  (20050711/ED)

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 FILE LAST UPDATED: 9 JUL 2005 (20050709/UP).  FILE COVERS 1950 TO DATE.

 On December 19, 2004, the 2005 MeSH terms were loaded.

 The MEDLINE reload for 2005 is now available.  For details enter HELP
 RLOAD at an arrow promt (=>).  See also:

    http://www.nlm.nih.gov/mesh/
    http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html

 OLDMEDLINE now back to 1950.

 MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the
 MeSH 2005 vocabulary.

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=> file embase
FILE 'EMBASE' ENTERED AT 15:39:23 ON 12 JUL 2005
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 FILE COVERS 1974 TO 7 Jul 2005 (20050707/ED)

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FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 8 July 2005 (20050708/ED)

FILE RELOADED: 19 October 2003.

=> file uspatfull
FILE 'USPATFULL' ENTERED AT 15:40:47 ON 12 JUL 2005
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 12 Jul 2005 (20050712/PD)
FILE LAST UPDATED: 12 Jul 2005 (20050712/ED)
HIGHEST GRANTED PATENT NUMBER: US6918136
HIGHEST APPLICATION PUBLICATION NUMBER: US2005150027
CA INDEXING IS CURRENT THROUGH 12 Jul 2005 (20050712/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 12 Jul 2005 (20050712/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2005

>>>  USPAT2 is now available.  USPATFULL contains full text of the     <<<
```

>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
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=> file uspat2
FILE 'USPAT2' ENTERED AT 15:40:54 ON 12 JUL 2005
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FILE COVERS 2001 TO PUBLICATION DATE: 12 Jul 2005 (20050712/PD)
FILE LAST UPDATED: 12 Jul 2005 (20050712/ED)
HIGHEST GRANTED PATENT NUMBER: US2004225788
HIGHEST APPLICATION PUBLICATION NUMBER: US2005150026
CA INDEXING IS CURRENT THROUGH 12 Jul 2005 (20050712/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 12 Jul 2005 (20050712/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2005

USPAT2 is a companion file to USPATFULL. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in USPATFULL. USPATFULL contains full text of the original published US patents from 1971 to date and the original applications from 2001. In addition, a USPATFULL record for an invention contains a complete list of publications that may be searched in standard search fields, e.g., /PN, /PK; etc.

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=>  s L3
L10          18 L3

=> dup remove L10
PROCESSING COMPLETED FOR L10
L11          13 DUP REMOVE L10 (5 DUPLICATES REMOVED)
                ANSWERS '1-9' FROM FILE CAPLUS
                ANSWERS '10-13' FROM FILE USPATFULL

=> d que L11
L1                STR
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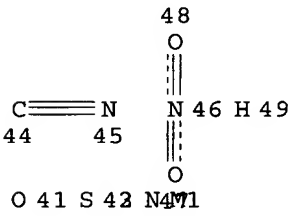
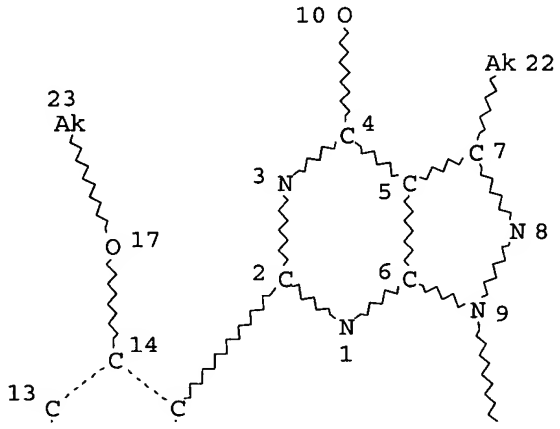
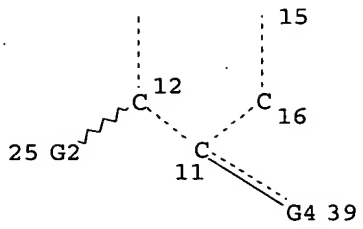


H 40



Page 1-A



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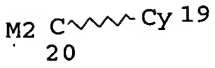
Ak 24



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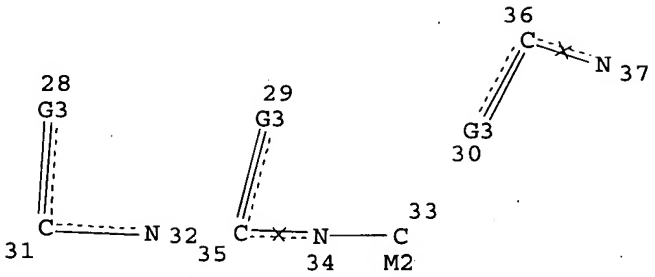
Page 2-A



Page 3-A
VAR G1=18/20
VAR G2=40/24
VAR G3=41/42/43
VAR G4=44/46/49/26/31/33/37/38
NODE ATTRIBUTES:
HCOUNT IS M2 AT 20
HCOUNT IS M2 AT 33
HCOUNT IS M1 AT 43
NSPEC IS R AT 1
NSPEC IS R AT 2
NSPEC IS R AT 3
NSPEC IS R AT 4
NSPEC IS R AT 5
NSPEC IS R AT 6
NSPEC IS R AT 7
NSPEC IS R AT 8
NSPEC IS R AT 9

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NSPEC   IS C      AT  10
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ECOUNT  IS M1-X6 C  AT  18
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  49

STEREO ATTRIBUTES: NONE
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L10           18 SEA L3
L11           13 DUP REMOVE L10 (5 DUPLICATES REMOVED)


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LAST RELOADED: Jul  8, 2005 (20050708/UP).
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L11 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2002:241329 CAPLUS
DOCUMENT NUMBER: 136:284433
TITLE: Administration of phosphodiesterase inhibitors for the treatment of premature ejaculation
INVENTOR(S): Wilson, Leland F.; Doherty, Paul C.; Place, Virgil A.; Smith, William L.; Abdel-Hamid, Abdou Ali Ibrahim Aboubakr
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 467,094.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002037828	A1	20020328	US 2001-888250	20010621
US 6403597	B2	20020611		
US 6037346	A	20000314	US 1998-181070	19981027
US 6548490	B1	20030415	US 1999-467094	19991210
CA 2451152	AA	20030103	CA 2002-2451152	20020325
WO 2003000343	A2	20030103	WO 2002-US9415	20020325
WO 2003000343	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1418896	A2	20040519	EP 2002-717729	20020325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005519851	T2	20050707	JP 2003-506984	20020325

PRIORITY APPLN. INFO.:

US 1997-958816	B2	19971028
US 1998-181070	A2	19981027
US 1999-467094	A2	19991210
US 2001-888250	A	20010621
WO 2002-US9415	W	20020325

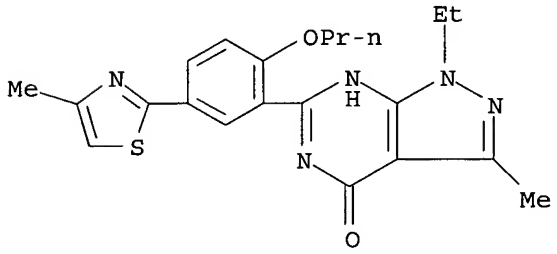
AB A method is provided for treatment of premature ejaculation by administration of a phosphodiesterase inhibitor, e.g., an inhibitor of a Type III, Type IV, or Type V phosphodiesterase. In a preferred embodiment, administration is on as "as needed" basis, i.e., the drug is administered immediately or several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided. Zaprinast 1.0, mannitol 1.0, microcryst. cellulose 2.0, and magnesium stearate 10 mg are blended in a suitable mixer and then compressed into

sublingual tablets. Each sublingual tablet contains 10 mg zaprinast.

IT **168464-60-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(administration of phosphodiesterase inhibitors for treatment of premature ejaculation)

RN 168464-60-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(4-methyl-2-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2001:179819 CAPLUS

DOCUMENT NUMBER: 134:222726

TITLE: Preparation of phenyl purinone derivatives for the treatment of precancerous lesions

INVENTOR(S): Piazza, Gary A.; Pamukcu, Rifat

PATENT ASSIGNEE(S): Cell Pathways, Inc., USA

SOURCE: U.S., 31 pp., Cont. of U. S. Ser. No. 472,804.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

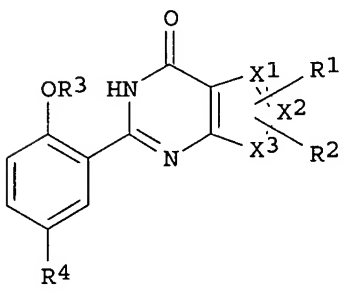
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6200980	B1	20010313	US 1997-842854	19970417
PRIORITY APPLN. INFO.:			US 1995-472804 A1	19950607

OTHER SOURCE(S): MARPAT 134:222726

GI



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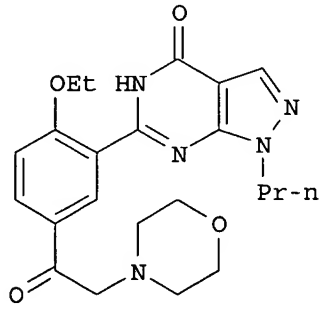


II

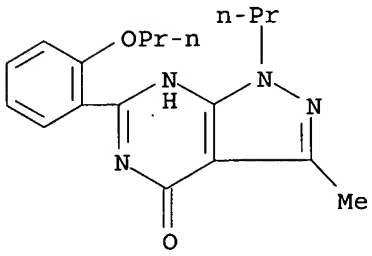
AB Title compds. (I) [wherein R1 = H, (fluoro)alkyl, or cycloalkyl; R2 = H,

(fluoro)alkyl, or cycloalkylalkyl; R3 = (fluoro)alkyl, cycloalkyl(alkyl), alkenyl or alkynyl; R4 = halo or (un)substituted alkyl, alkenyl, alkanoyl, carbamoyl, carboxy, amino, sulfamoylamino, Ph, pyridyl, or imidazoyl, etc.; X1-X3 = independently N or C with the proviso that at least 2 of X1-X3 = N] were prepared for inhibiting the growth of neoplastic cells. For example, the 4H-pyrazolo[3,4-d]pyrimidin-4-one (II) was formed in a multi-step synthesis involving amidation of 5-amino-1-propylpyrazole-4-carboxamide with 2-ethoxybenzoyl chloride (74%), cyclization using aqueous NaOH (89%), acetylation with bromoacetyl bromide in the presence of AlCl3 (92%), and addition of morpholine in K2CO3 and MeCN (85%). In a cell growth inhibition assay examining the effects of I on human colon carcinoma cells, administration of 40 μM of 2-(2-propoxyphenyl)-8-azapurin-6-one resulted in 30% apoptotic cells and 2% necrosis compared to 7% and 5%, resp., for the control. Pharmaceutical compns. for oral and parenteral administration of I are also included.

IT **148872-11-1P**, 3-Methyl-6-(2-propoxyphenyl)-1-propyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of Ph purinone derivs. for treatment of precancerous lesions)

RN 148872-11-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 137 THERE ARE 137 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:314395 CAPLUS

DOCUMENT NUMBER: 136:335540

TITLE: Use of PDE V inhibitors for improved fecundity in mammals

INVENTOR(S): Westbrook, Simon Lempriere; Zanzinger, Johannes Friedrich

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

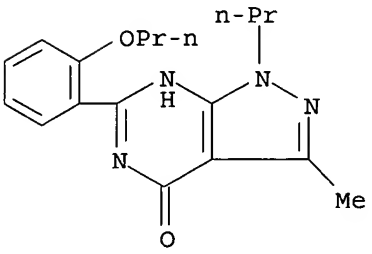
EP 1199070	A2	20020424	EP 2001-308684	20011011
EP 1199070	A3	20040317		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2359383	AA	20020420	CA 2001-2359383	20011018
US 2003018036	A1	20030123	US 2001-982445	20011018
US 6548508	B2	20030415		
AU 2001081523	A5	20020502	AU 2001-81523	20011019
JP 2002220346	A2	20020809	JP 2001-322195	20011019
ZA 2001008617	A	20030422	ZA 2001-8617	20011019
NZ 514947	A	20050324	NZ 2001-514947	20011019
US 2003018037	A1	20030123	US 2002-229534	20020827
US 6743799	B2	20040601		
US 2004167095	A1	20040826	US 2004-778866	20040212
PRIORITY APPLN. INFO.:			GB 2000-25782	A 20001020
			US 2000-253338P	P 20001128
			US 2001-982445	A1 20011018
			US 2002-229534	A1 20020827

AB The invention relates to the use of a cyclic guanosine 3',5'-monophosphate phosphodiesterase type five (cGMP PDE V) inhibitor for increasing fecundity in a mammal by one or more of (a) promoting the growth of an oocyte, zygote, blastocyst, embryo and/or fetus, (b) increasing the rate or probability of survival of an embryo and/or fetus and (c) increasing the birth weight of a progeny, or for increasing milk productivity. I.v. and tablet formulations are exemplified. Formulations and packs containing the PDE V inhibitors for pharmaceutical or veterinary use are claimed.

IT **148872-11-1**
RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of PDE V inhibitors for improved fecundity in mammals)

RN 148872-11-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



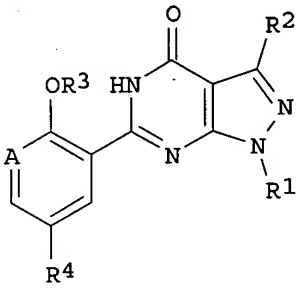
L11 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2000:277701 CAPLUS
DOCUMENT NUMBER:	132:293775
TITLE:	Preparation of pyrazolopyrimidinones as cGMP PDE5 inhibitors for the treatment of sexual dysfunction
INVENTOR(S):	Bunnage, Mark Edward; Street, Stephen Derek Albert; Mathias, John Paul; Wood, Anthony
PATENT ASSIGNEE(S):	Pfizer Inc., USA; Pfizer Limited
SOURCE:	Eur. Pat. Appl., 40 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 995751	A2	20000426	EP 1999-308158	19991015
EP 995751	A3	20001018		
EP 995751	B1	20050629		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2287562	AA	20000423	CA 1999-2287562	19991022
BR 9905109	A	20000926	BR 1999-5109	19991022
US 6407114	B1	20020618	US 1999-425095	19991022
JP 2000128884	A2	20000509	JP 1999-302064	19991025
MX 9909816	A	20000630	MX 1999-9816	19991025

PRIORITY APPLN. INFO.: GB 1998-23103 A 19981023
OTHER SOURCE(S): MARPAT 132:293775
GI



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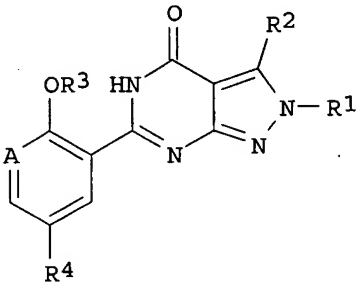


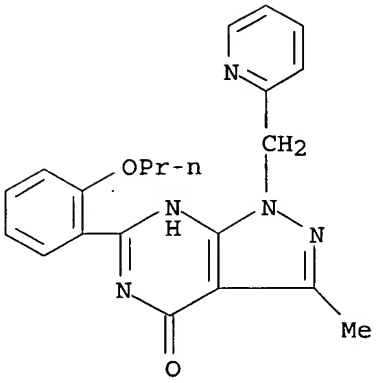
II

AB The title compds. [I or II; A = CH, N; R1, R2 = H, (un)substituted alkyl, (un)substituted Het, etc.; R3 = H, (un)substituted alkyl; R4 = SO2NR12R13; NR12R13 = Het; Het = 4-12 membered heterocyclic group containing at least one N atom and, optionally, one or more heteroatoms selected from N, S and O], useful in the curative and prophylactic treatment of a medical condition for which inhibition of a cyclic guanosine 3',5'-monophosphate phosphodiesterase (e.g. cGMP PDE5) is desired, were prepared E.g., a multi-step synthesis of I [A = CH; R1 = Pr; R2 = 2-pyridylmethyl; R3 = Pr; R4 = 4-ethylpiperazin-1-ylsulfonyl] which showed IC50 of 9.30 nM against cGMP PDE5, was given.

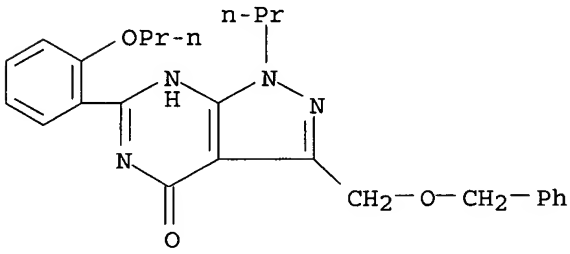
IT **168464-80-0P 264920-04-9P 264920-08-3P**
264920-09-4P 264920-11-8P 264920-13-0P
264920-15-2P 264920-17-4P 264920-18-5P
264920-19-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidinones as cGMP PDE5 inhibitors for the treatment of sexual dysfunction)

RN 168464-80-0 CAPLUS

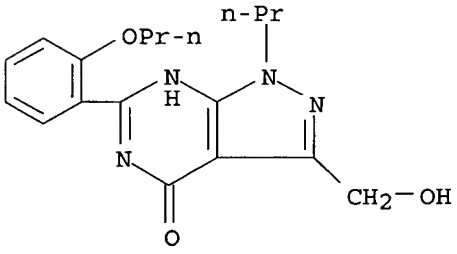
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



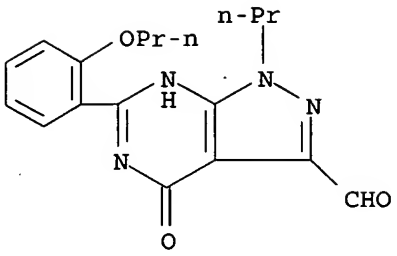
RN 264920-04-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-[(phenylmethoxy)methyl]-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



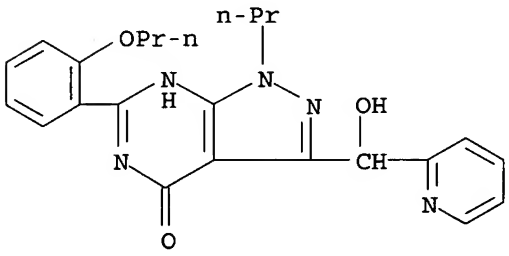
RN 264920-08-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-(hydroxymethyl)-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



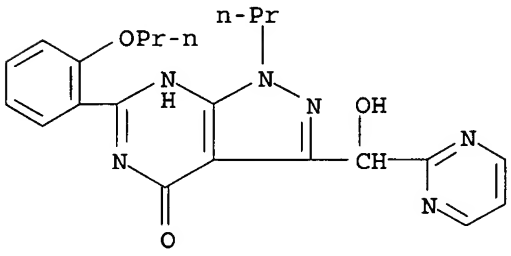
RN 264920-09-4 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-3-carboxaldehyde, 4,5-dihydro-4-oxo-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



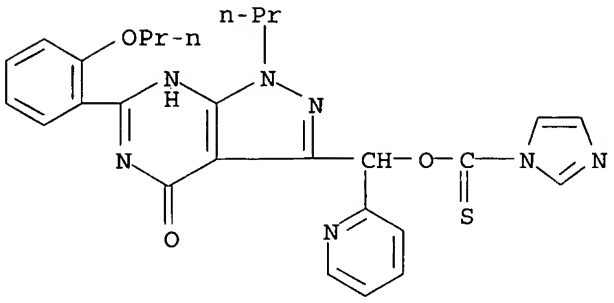
RN 264920-11-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-(hydroxy-2-pyridinylmethyl)-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



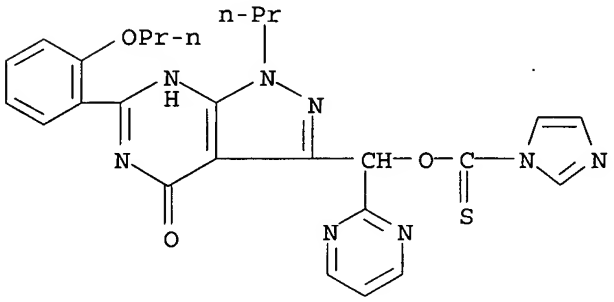
RN 264920-13-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-(hydroxy-2-pyrimidinylmethyl)-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



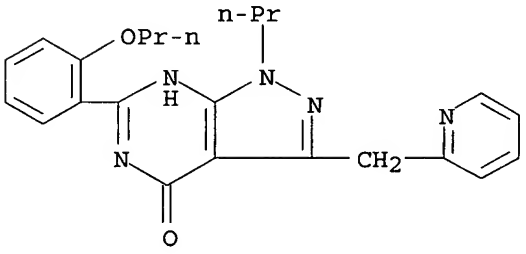
RN 264920-15-2 CAPLUS
CN 1H-Imidazole-1-carbothioic acid, O-[[4,5-dihydro-4-oxo-6-(2-propoxyphenyl)-1-propyl-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-pyridinylmethyl] ester (9CI) (CA INDEX NAME)



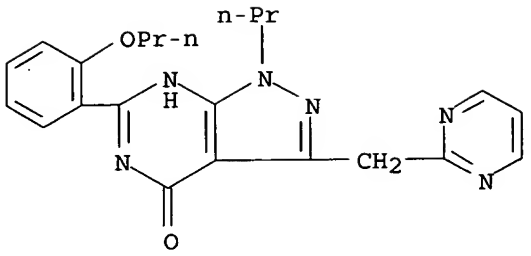
RN 264920-17-4 CAPLUS
CN 1H-Imidazole-1-carbothioic acid, O-[[4,5-dihydro-4-oxo-6-(2-propoxyphenyl)-1-propyl-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-pyrimidinylmethyl] ester (9CI) (CA INDEX NAME)



RN 264920-18-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-(2-propoxyphenyl)-1-propyl-3-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 264920-19-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-(2-propoxyphenyl)-1-propyl-3-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

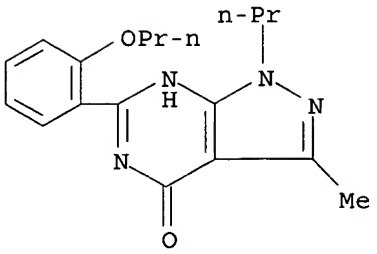


L11 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:300912 CAPLUS
DOCUMENT NUMBER: 131:96886
TITLE: Automation of metabolic stability studies in microsomes, cytosol and plasma using a 215 Gilson liquid handler
AUTHOR(S): Linget, Jean-Michel; du Vignaud, Pierre
CORPORATE SOURCE: Laboratoire de recherche Glaxo Wellcome France, Les Ulis, 91951, Fr.
SOURCE: Journal of Pharmaceutical and Biomedical Analysis (1999), 19(6), 893-901
CODEN: JPBADA; ISSN: 0731-7085
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

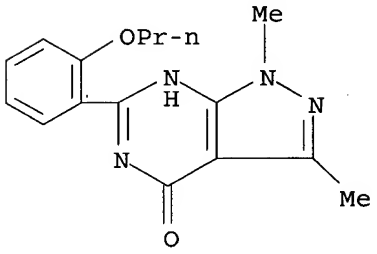
AB A 215 Gilson liquid handler was used to automate enzymic incubations using microsomes, cytosol and plasma. The design of automated protocols are described. They were based on the use of 96 deep well plates and on HPLC-based methods for assaying the substrate. The assessment of those protocols was made with comparison between manual and automated incubations, reliability and reproducibility of automated incubations in microsomes and cytosol. Examples of the use of those programs in metabolic studies in drug research, i.e. metabolic screening in microsomes and plasma were shown. Even rapid processes (with disappearance half lives as low as 1 min) can be analyzed. This work demonstrates how stability studies can be automated to save time, render expts. involving human biol. media less hazardous and may be improve inter-laboratory reproducibility.

IT **148872-11-1 168464-99-1 175406-88-9 175406-89-0**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(test compound; automation of drug metabolic stability studies in microsomes, cytosol and plasma using a 215 Gilson liquid handler)

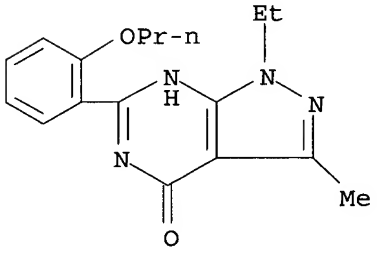
RN 148872-11-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



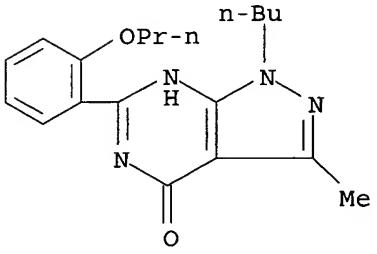
RN 168464-99-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



RN 175406-88-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



RN 175406-89-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-butyl-1,5-dihydro-3-methyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)

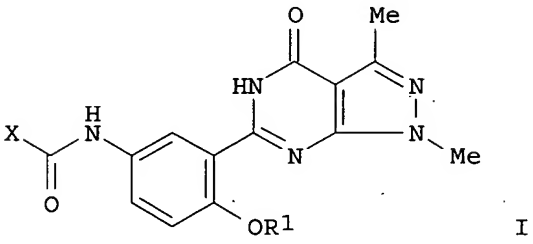


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:751800 CAPLUS
DOCUMENT NUMBER: 126:31225
TITLE: Preparation of 1H-pyrazolo[3,4-d]pyrimidin-4-one derivatives as phosphodiesterase inhibitors
INVENTOR(S): Oota, Tomoki; Taguchi, Minoru; Kawashima, Yutaka; Hatayama, Katsuo; Tomizawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08253484	A2	19961001	JP 1996-5930	19960117
PRIORITY APPLN. INFO.:			JP 1996-5930 A	19960117
			JP 1995-6986	19950120

OTHER SOURCE(S): MARPAT 126:31225
GI

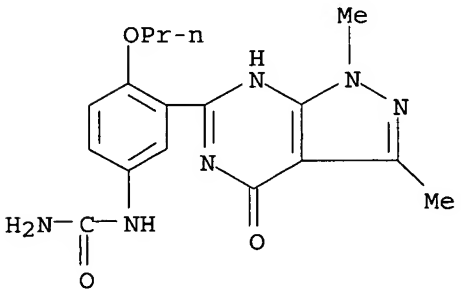


I

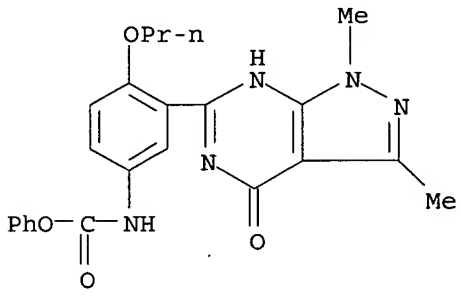
AB Title compds. I [R1 = C1-4 alkyl; X = phenoxy, NR2R3; R2, R3 = H, C2-4 hydroxyalkyl, or NR2R3 = morpholino, piperidino, etc.], phosphodiesterase inhibitors and therefore useful for treatment of hypertension and other cardiovascular diseases, (no data), are prepared Thus, I [R1 = Pr, X = PhO] was prepared from 6-(5-amino-2-propoxyphenyl)-4,5-dihydro-1,3-dimethyl-1H-pyrazolo[3,4-d]pyrimidin-4-one (preparation given) and Ph chloroformate. This was further reacted with morpholine to give I [R1 = Pr, X = morpholino]. In an in vitro study, this had an IC50 of 2.4 μM against phosphodiesterase.

IT **168464-46-8P 184356-69-2P 184356-70-5P**
184356-71-6P 184356-72-7P 184356-74-9P
184356-75-0P 184356-76-1P 184356-77-2P
184356-78-3P 184356-79-4P 184356-80-7P
184356-81-8P 184356-82-9P 184356-83-0P
184356-84-1P 184356-85-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-pyrazolo[d]pyrimidinone derivs. as phosphodiesterase inhibitors)

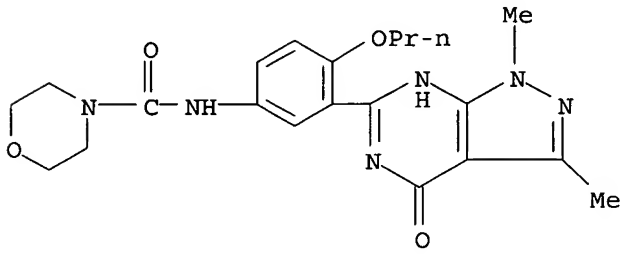
RN 168464-46-8 CAPLUS
CN Urea, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



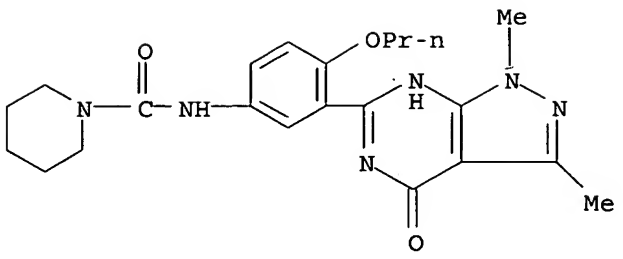
RN 184356-69-2 CAPLUS
CN Carbamic acid, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-, phenyl ester (9CI) (CA INDEX NAME)



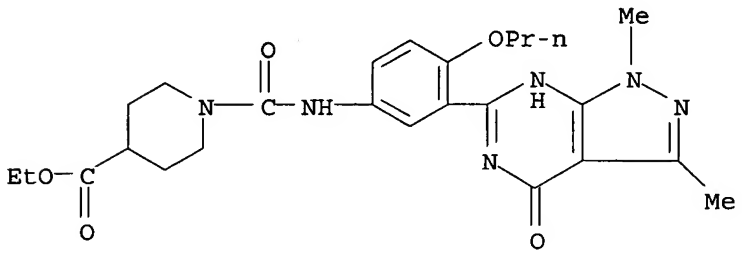
RN 184356-70-5 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



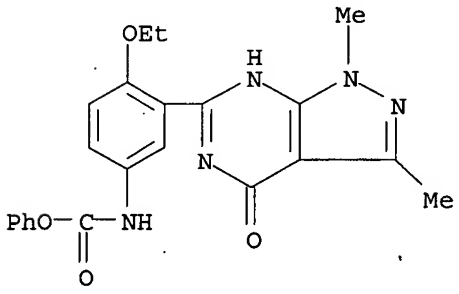
RN 184356-71-6 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



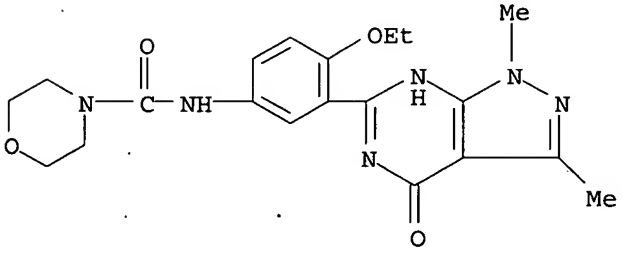
RN 184356-72-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



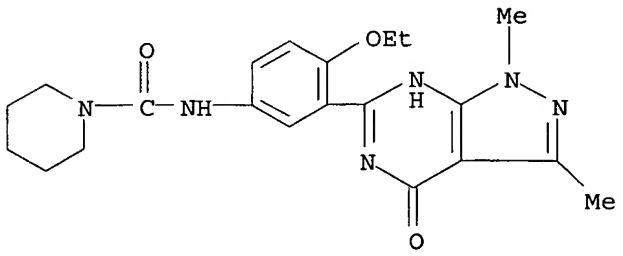
RN 184356-74-9 CAPLUS
CN Carbamic acid, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]-, phenyl ester (9CI) (CA INDEX NAME)



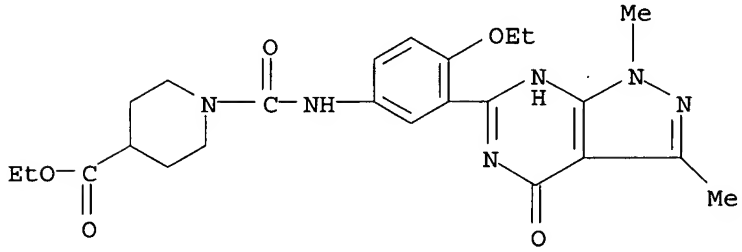
RN 184356-75-0 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



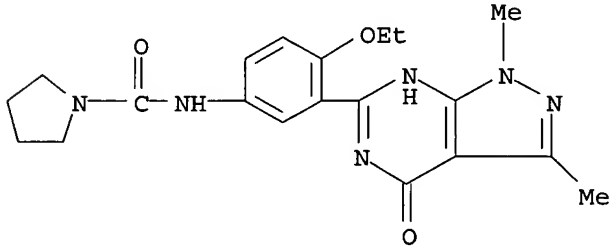
RN 184356-76-1 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



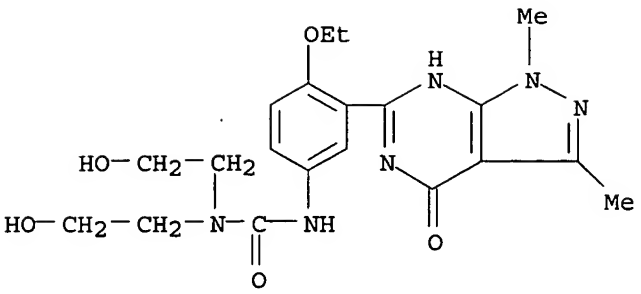
RN 184356-77-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



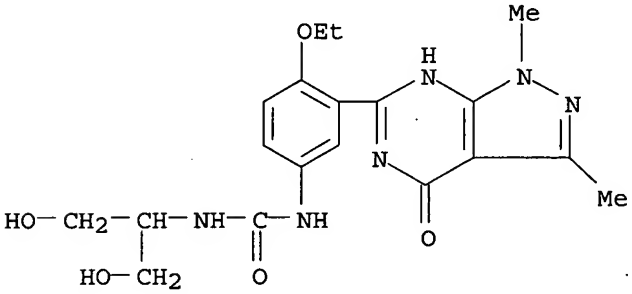
RN 184356-78-3 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



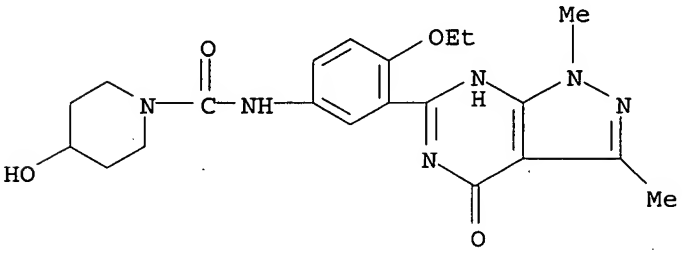
RN 184356-79-4 CAPLUS
CN Urea, N'-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]-N,N-bis(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



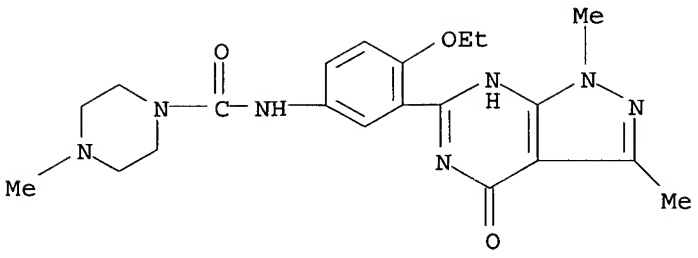
RN 184356-80-7 CAPLUS
CN Urea, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]-N'-[2-hydroxy-1-(hydroxymethyl)ethyl]- (9CI) (CA INDEX NAME)



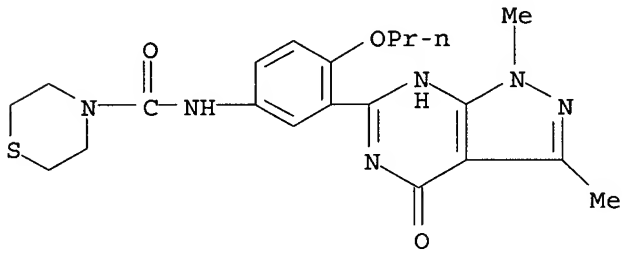
RN 184356-81-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]-4-hydroxy- (9CI) (CA INDEX NAME)



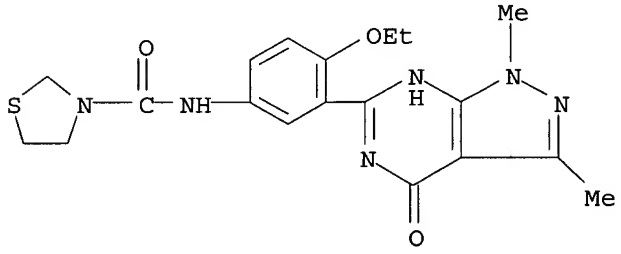
RN 184356-82-9 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)



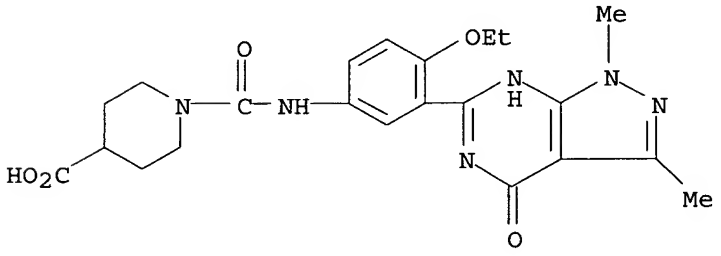
RN 184356-83-0 CAPLUS
CN 4-Thiomorpholinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



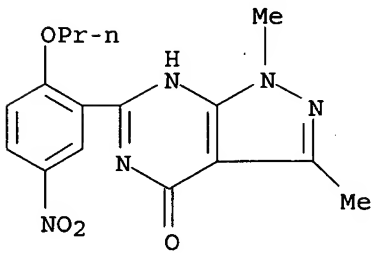
RN 184356-84-1 CAPLUS
CN 3-Thiazolidinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



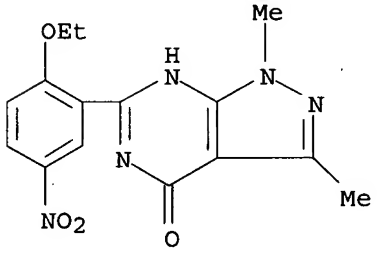
RN 184356-85-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



IT **168464-24-2P 168464-29-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1H-pyrazolo[d]pyrimidinone derivs. as phosphodiesterase inhibitors)
RN 168464-24-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-(5-nitro-2-propoxyphenyl)- (9CI) (CA INDEX NAME)



RN 168464-29-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-ethoxy-5-nitrophenyl)-1,5-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)



L11 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:175895 CAPLUS
DOCUMENT NUMBER: 124:249654
TITLE: Synthesis and Cyclic GMP Phosphodiesterase Inhibitory Activity of a Series of 6-Phenylpyrazolo[3,4-d]pyrimidones
AUTHOR(S): Dumaitre, Bernard; Dodic, Nerina
CORPORATE SOURCE: Glaxo Wellcome Centre de Recherches, Les Ulis, 91951, Fr.
SOURCE: Journal of Medicinal Chemistry (1996), 39(8), 1635-44
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 6-phenylpyrazolo[3,4-d]pyrimidones is described which are specific inhibitors of cGMP specific (type V) phosphodiesterase. Enzymic and cellular activity as well as in vivo oral antihypertensive activity are evaluated. A n-propoxy group at the 2-position of the Ph ring is necessary for activity. A series of products substituted at the 5-position in addition to the 2-n-propoxy was prepared and evaluated. This position can accommodate many unrelated groups. Amino derivs. were very

potent but lacked metabolic stability. Substitution by carbon-linked small heterocycles provided both high levels of activity and stability. Cellular activity very often correlated with in vivo activity. Among the compds., 1,3-dimethyl-6-(2-propoxy-5-methanesulfonamidophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one and 1-ethyl-3-methyl-6-(2-propoxy-5-(4-methylthiazol-2-yl)phenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one displayed outstanding in vivo activities at 5 mg/kg/os and good metabolic stabilities.

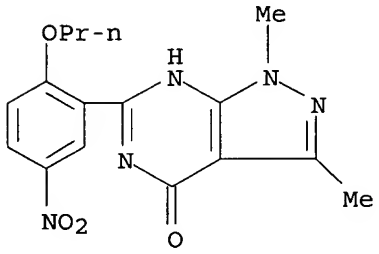
IT **168464-24-2P 168464-25-3P 168464-99-1P 175406-88-9P**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(synthesis and cyclic GMP phosphodiesterase inhibitory activity of phenylpyrazolopyrimidones)

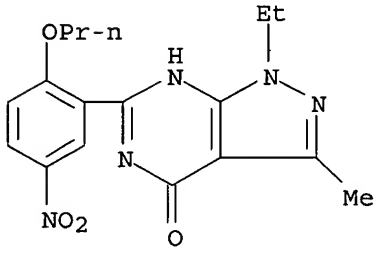
RN 168464-24-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-(5-nitro-2-propoxyphenyl)- (9CI) (CA INDEX NAME)



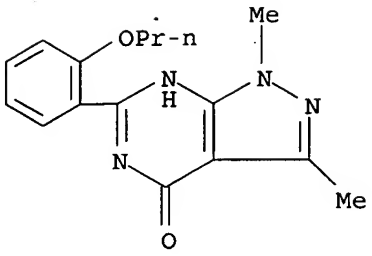
RN 168464-25-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-(5-nitro-2-propoxyphenyl)- (9CI) (CA INDEX NAME)

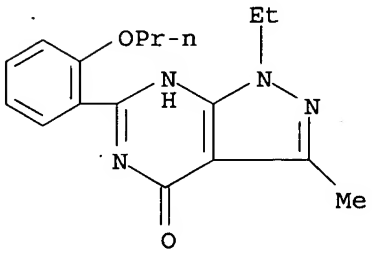


RN 168464-99-1 CAPLUS

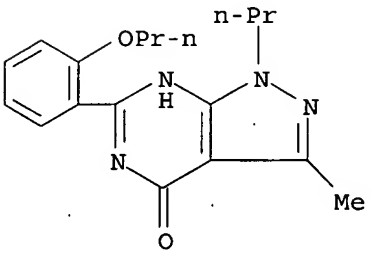
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



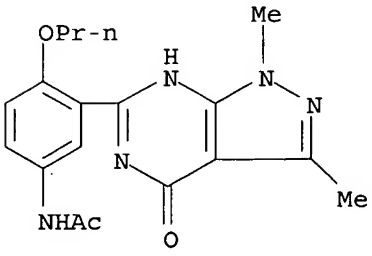
RN 175406-88-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



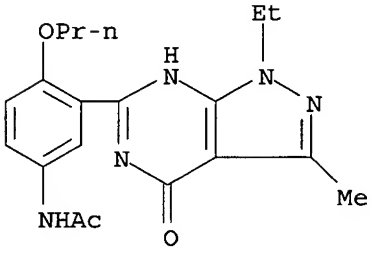
IT **148872-11-1P 168464-38-8P 168464-39-9P**
168464-41-3P 168464-42-4P 168464-44-6P
168464-46-8P 168464-47-9P 168464-51-5P
168464-52-6P 168464-53-7P 168464-54-8P
168464-58-2P 168464-59-3P 168464-60-6P
168464-63-9P 168464-68-4P 168464-71-9P
168464-72-0P 168464-74-2P 168464-75-3P
168464-76-4P 168464-83-3P 168464-85-5P
168464-86-6P 168464-87-7P 168464-88-8P
168464-90-2P 168464-91-3P 168464-92-4P
168464-95-7P 175406-85-6P 175406-89-0P
175406-90-3P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(synthesis and cyclic GMP phosphodiesterase inhibitory activity of phenylpyrazolopyrimidones)
RN 148872-11-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



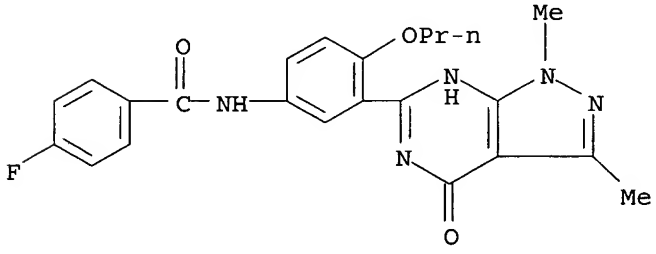
RN 168464-38-8 CAPLUS
CN Acetamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



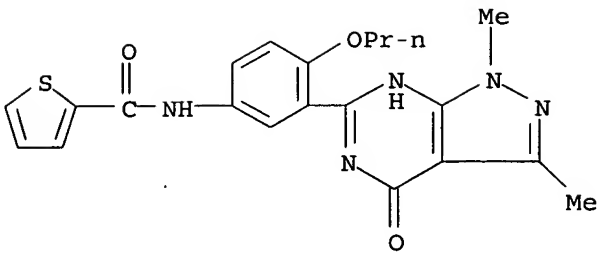
RN 168464-39-9 CAPLUS
CN Acetamide, N-[3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



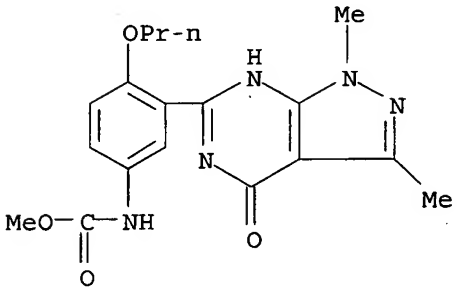
RN 168464-41-3 CAPLUS
CN Benzamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-4-fluoro- (9CI) (CA INDEX NAME)



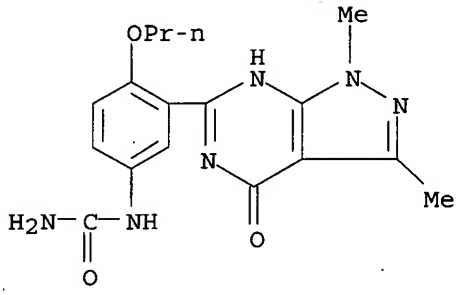
RN 168464-42-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



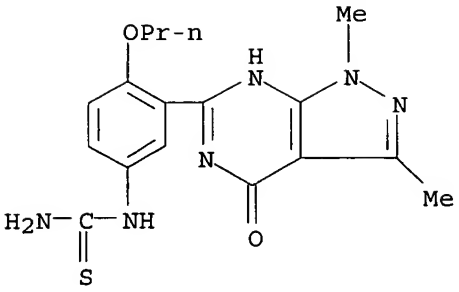
RN 168464-44-6 CAPLUS
CN Carbamic acid, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-, methyl ester (9CI) (CA INDEX NAME)



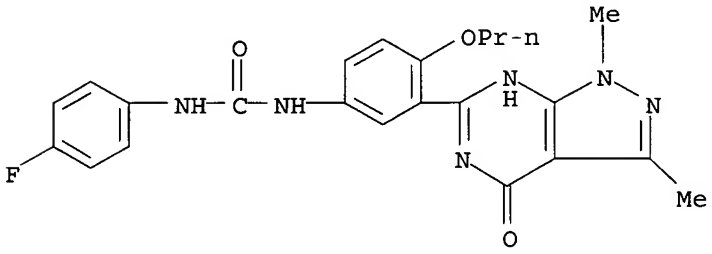
RN 168464-46-8 CAPLUS
CN Urea, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



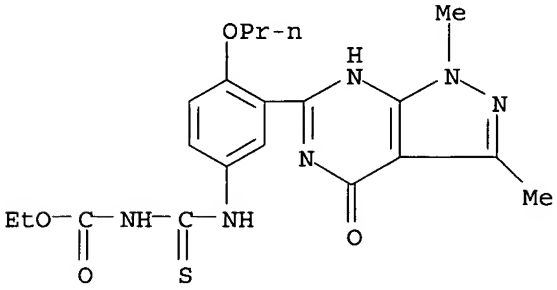
RN 168464-47-9 CAPLUS
CN Thiourea, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



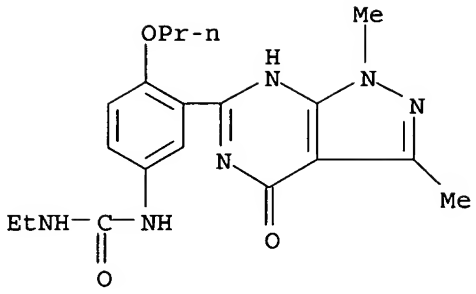
RN 168464-51-5 CAPLUS
CN Urea, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-N'-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



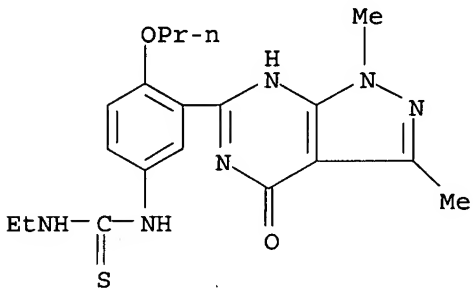
RN 168464-52-6 CAPLUS
CN Carbamic acid, [[[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]amino]thioxomethyl]-, ethyl ester (9CI) (CA INDEX NAME)



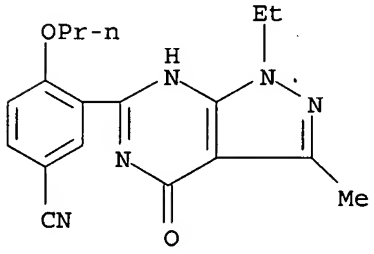
RN 168464-53-7 CAPLUS
CN Urea, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-N'-ethyl- (9CI) (CA INDEX NAME)



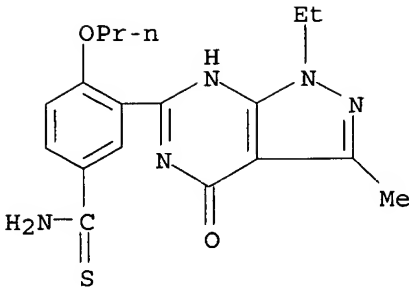
RN 168464-54-8 CAPLUS
CN Thiourea, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-N'-ethyl- (9CI) (CA INDEX NAME)



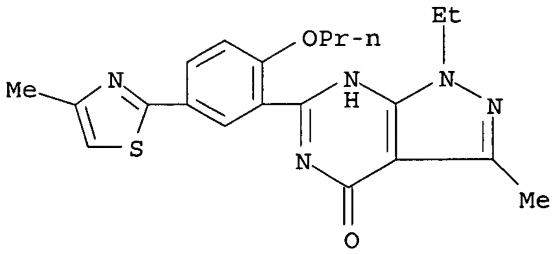
RN 168464-58-2 CAPLUS
CN Benzonitrile, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy- (9CI) (CA INDEX NAME)



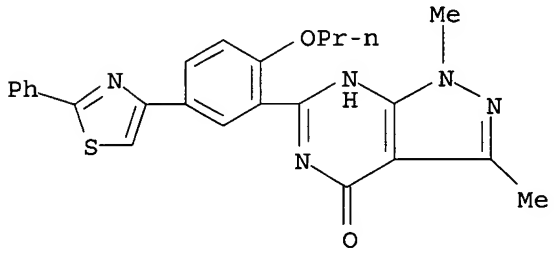
RN 168464-59-3 CAPLUS
CN Benzenecarbothioamide, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy- (9CI) (CA INDEX NAME)



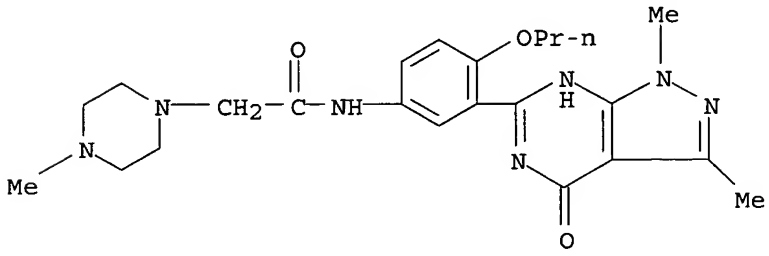
RN 168464-60-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(4-methyl-2-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



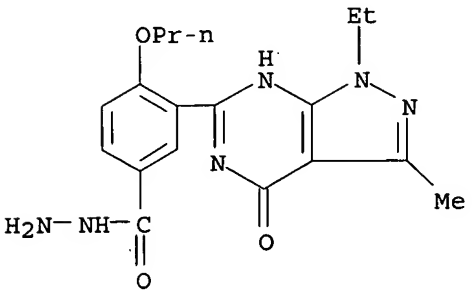
RN 168464-63-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(2-phenyl-4-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



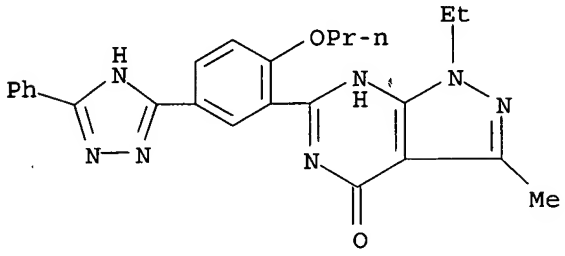
RN 168464-68-4 CAPLUS
CN 1-Piperazineacetamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)



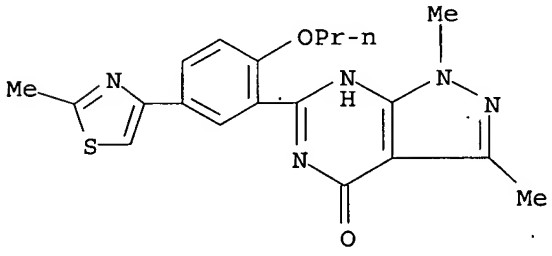
RN 168464-71-9 CAPLUS
CN Benzoic acid, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy-, hydrazide (9CI) (CA INDEX NAME)



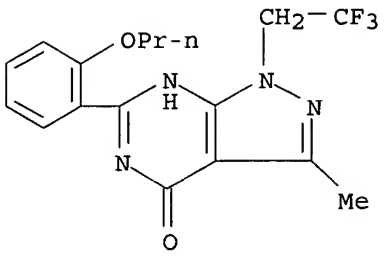
RN 168464-72-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(5-phenyl-1H-1,2,4-triazol-3-yl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



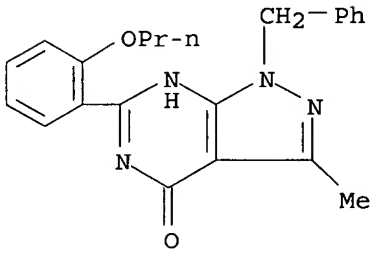
RN 168464-74-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(2-methyl-4-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



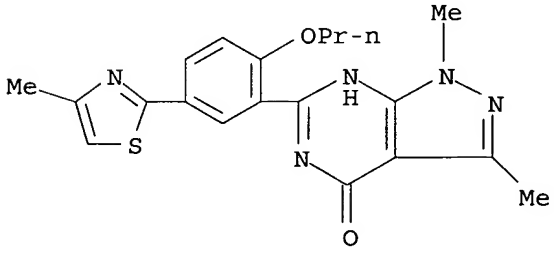
RN 168464-75-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



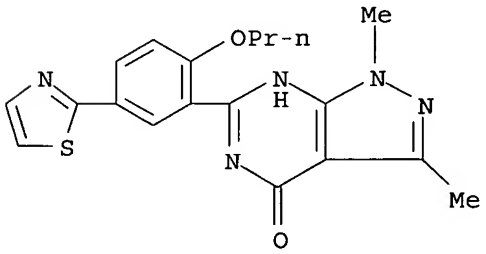
RN 168464-76-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-1-(phenylmethyl)-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



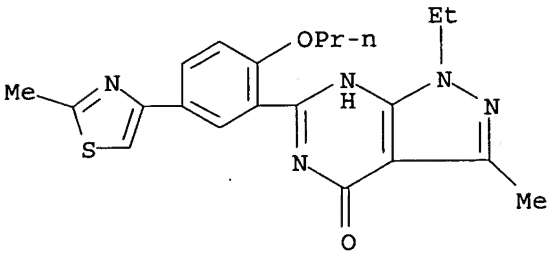
RN 168464-83-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(4-methyl-2-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



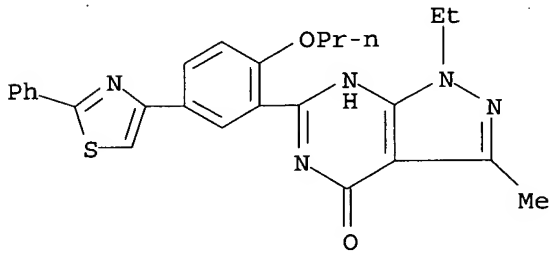
RN 168464-85-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[2-propoxy-5-(2-thiazolyl)phenyl]- (9CI) (CA INDEX NAME)



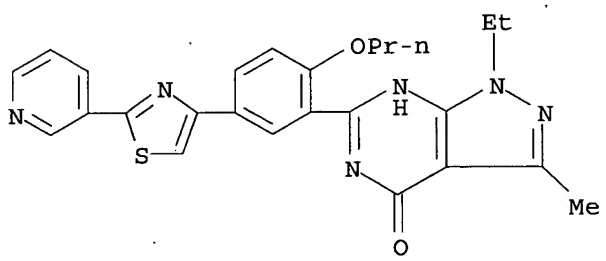
RN 168464-86-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(2-methyl-4-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



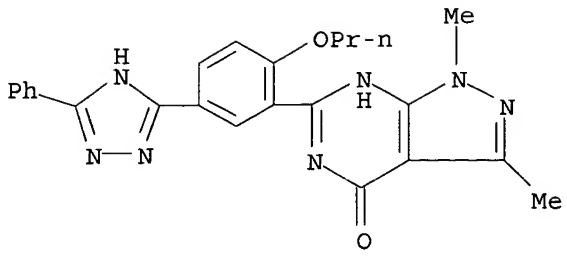
RN 168464-87-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(2-phenyl-4-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



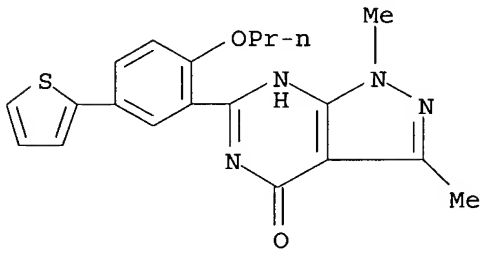
RN 168464-88-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[2-propoxy-5-[2-(3-pyridinyl)-4-thiazolyl]phenyl]- (9CI) (CA INDEX NAME)



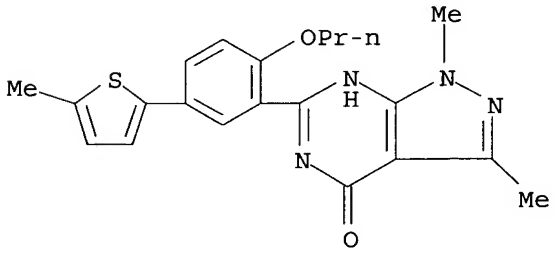
RN 168464-90-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(5-phenyl-1H-1,2,4-triazol-3-yl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



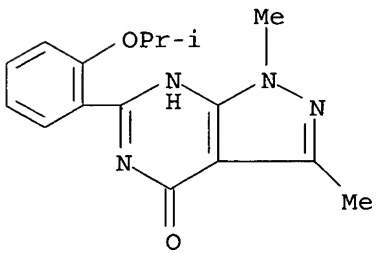
RN 168464-91-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[2-propoxy-5-(2-thienyl)phenyl]- (9CI) (CA INDEX NAME)



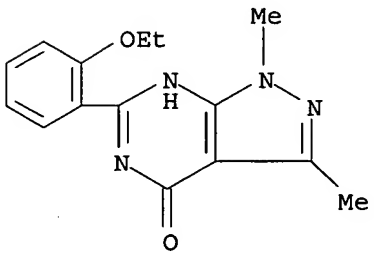
RN 168464-92-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(5-methyl-2-thienyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



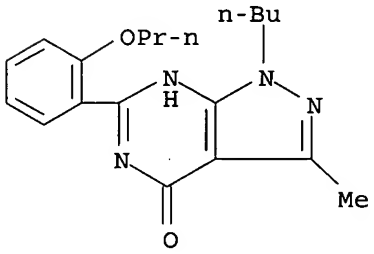
RN 168464-95-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[2-(1-methylethoxy)phenyl]- (9CI) (CA INDEX NAME)



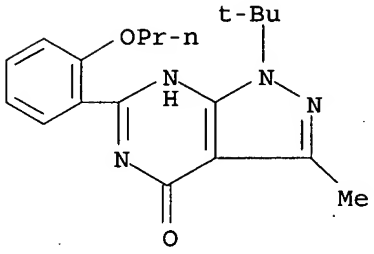
RN 175406-85-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-ethoxyphenyl)-1,5-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 175406-89-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-butyl-1,5-dihydro-3-methyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



RN 175406-90-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1,1-dimethylethyl)-1,5-dihydro-3-methyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)

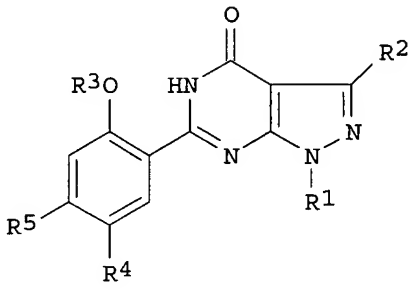


L11 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:833039 CAPLUS
DOCUMENT NUMBER: 123:228202
TITLE: Pyrazolopyrimidine derivatives as inhibitors of cGMP-specific PDE
INVENTOR(S): Dumaitre, Bernard Andre; Dodic, Nerina
PATENT ASSIGNEE(S): Laboratoires Glaxo SA, Fr.
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 636626	A1	19950201	EP 1994-202083	19940718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07070128	A2	19950314	JP 1994-188893	19940719
PRIORITY APPLN. INFO.:			GB 1993-15017 A	19930720

OTHER SOURCE(S): CASREACT 123:228202; MARPAT 123:228202
GI



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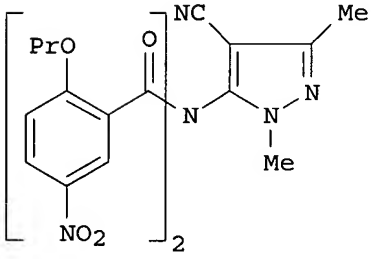
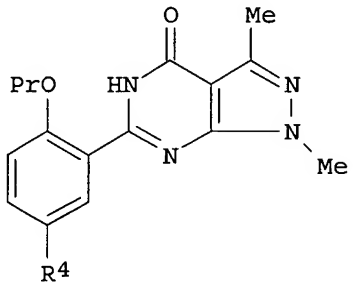


II



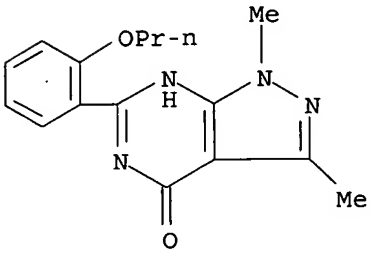
III

AB Title derivs. I and their salts and solvates are described [in which R1 = arylmethyl or (fluoro)alkyl; R2 = Me; R3 = alkyl; R4 = NO2, cyano, alkoxy, C(:X)NR6R7, NR8R9, (CH2)mNR10C(:Y)R11, (un)substituted thienyl, thiazolyl, or 1,2,4-triazolyl; or when R1 = arylmethyl or fluoroalkyl then R4 may also = H; R5, R6, R8, R10, R13, R15, R17 = H or alkyl; R7 = H, amino, OH, alkyl, aryl, arylalkyl; R9 = H, alkyl, SO2R12, CO2R12, C(:NCN)SR12 or C(:NCN)NR13R14; R11 = (halo)alkyl, aryl, arylalkyl, thienyl, NR15R16, CH2NR17R18; or R10R11, R10R15 = A(CH2)n; R12 = alkyl, aryl, arylalkyl; R14 = H, alkyl, aryl, arylalkyl; or NR13R14, NR15R16, NR17R18 = morpholino, (alkyl)piperazino; R16 = H, alkyl, aryl, arylalkyl, CO2R12, CH2CO2R12; R18 = H, alkyl, aryl, arylalkyl, COR12; A = CH2, CO; m = 0-1; n = 1-3; X = S, NH, and also O when R7 = amino; Y = O, S; R4 cannot = NO2 or NH2 when R1 = alkyl and R5 = H]. The compds. are potent and selective inhibitors of cyclic guanosine 3',5'-monophosphate specific phosphodiesterase (cGMP-specific PDE) and are useful in a variety of therapeutic areas, including the treatment of cardiovascular disorders. For example, cyclocondensation of MeNHNH2 with Me(EtO)C:C(CN)2 gave 5-amino-4-cyano-1,3-dimethylpyrazole, which reacted with 2 equiv 2-propoxy-5-nitrobenzoyl chloride to give cyanopyrazole intermediate II. Cyclization of II in a mixture of 30% H2O2 and 0.5N NaOH at 90° gave title compound III (R4 = NO2), which underwent hydrogenation to give III (R4

= NH2) and then mesylation with MeSO2Cl to give III (R4 = NHSO2Me). The latter 2 examples of III had IC50 of 1-10 nM against the title enzyme, vs. 200 nM for the known inhibitor zaprinast.

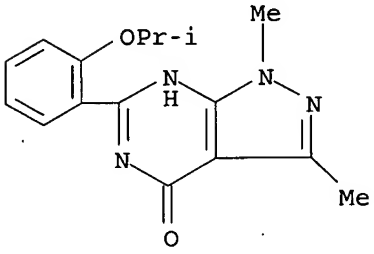
IT **168464-99-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination; preparation of pyrazolopyrimidine derivs. as inhibitors of cGMP-specific PDE)

RN 168464-99-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)

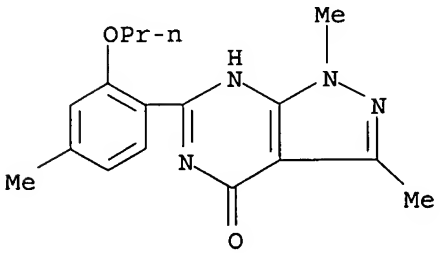


IT **168464-95-7P 168464-96-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrazolopyrimidine derivs. as inhibitors of cGMP-specific PDE)

RN 168464-95-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[2-(1-methylethoxy)phenyl]- (9CI) (CA INDEX NAME)

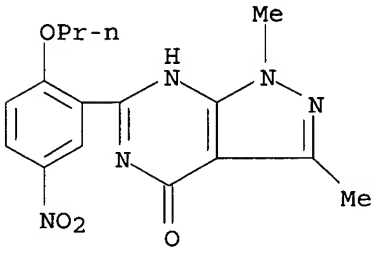


RN 168464-96-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-(4-methyl-2-propoxyphenyl)- (9CI) (CA INDEX NAME)

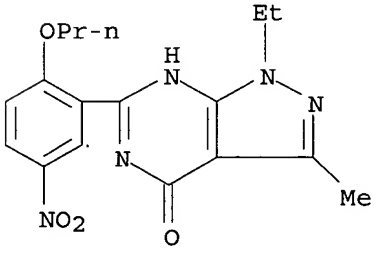


IT **168464-24-2P 168464-25-3P 168464-26-4P**
168464-29-7P 168464-43-5P 168464-48-0P
168464-57-1P 168464-58-2P 168464-59-3P
168464-66-2P 168464-71-9P 168464-82-2P
168464-89-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidine derivs. as inhibitors of cGMP-specific PDE)

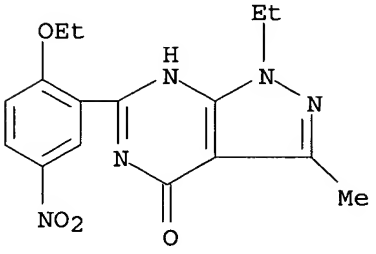
RN 168464-24-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-(5-nitro-2-propoxyphenyl)- (9CI) (CA INDEX NAME)



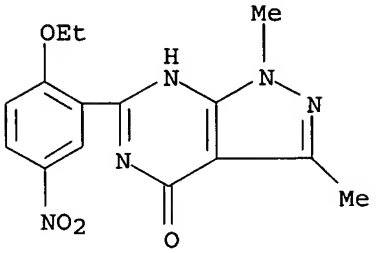
RN 168464-25-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-(5-nitro-2-propoxyphenyl)- (9CI) (CA INDEX NAME)



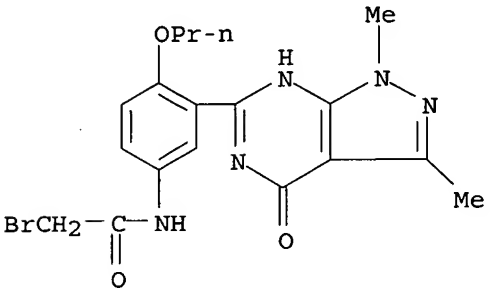
RN 168464-26-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-ethoxy-5-nitrophenyl)-1-ethyl-1,5-dihydro-3-methyl- (9CI) (CA INDEX NAME)



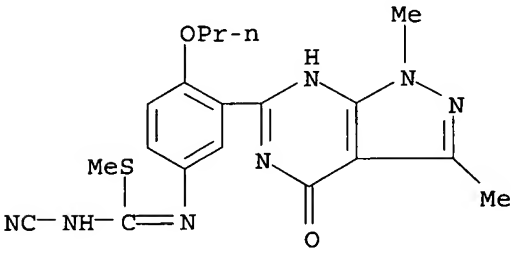
RN 168464-29-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2-ethoxy-5-nitrophenyl)-1,5-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)



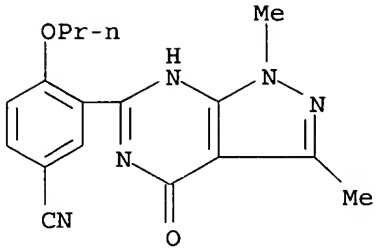
RN 168464-43-5 CAPLUS
CN Acetamide, 2-bromo-N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



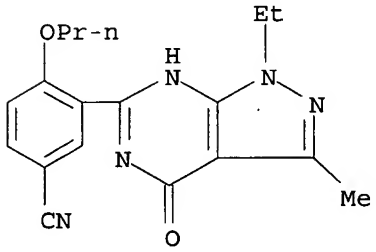
RN 168464-48-0 CAPLUS
CN Carbamimidothioic acid, N-cyano-N'-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-, methyl ester (9CI) (CA INDEX NAME)



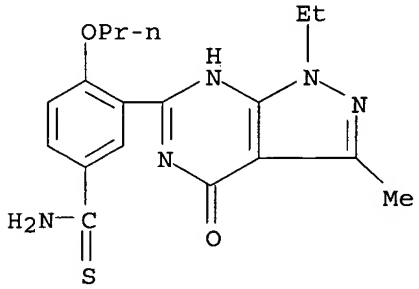
RN 168464-57-1 CAPLUS
CN Benzonitrile, 3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy- (9CI) (CA INDEX NAME)



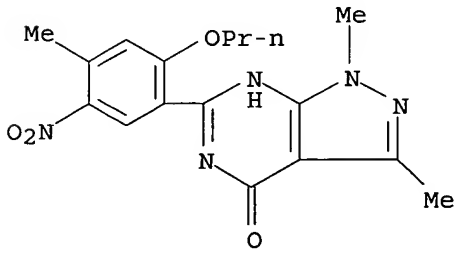
RN 168464-58-2 CAPLUS
CN Benzonitrile, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy- (9CI) (CA INDEX NAME)



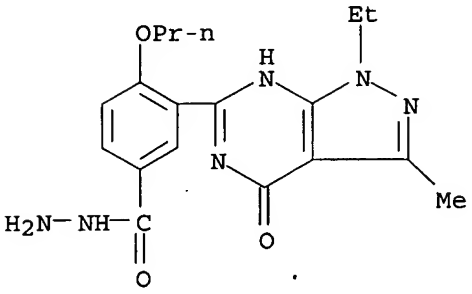
RN 168464-59-3 CAPLUS
CN Benzenecarbothioamide, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy- (9CI) (CA INDEX NAME)



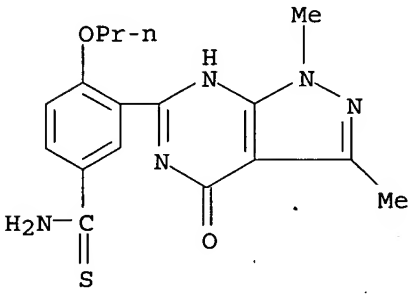
RN 168464-66-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-(4-methyl-5-nitro-2-propoxyphenyl)- (9CI) (CA INDEX NAME)



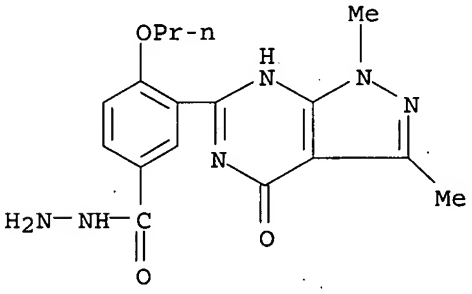
RN 168464-71-9 CAPLUS
CN Benzoic acid, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy-, hydrazide (9CI) (CA INDEX NAME)



RN 168464-82-2 CAPLUS
CN Benzenecarbothioamide, 3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy- (9CI) (CA INDEX NAME)



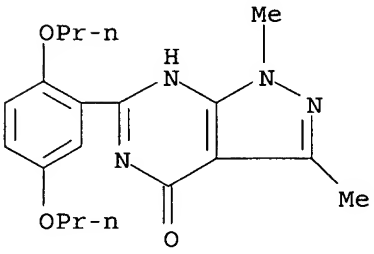
RN 168464-89-9 CAPLUS
CN Benzoic acid, 3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy-, hydrazide (9CI) (CA INDEX NAME)



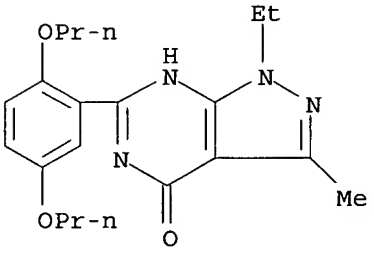
IT **168464-27-5P 168464-28-6P 168464-38-8P**
168464-39-9P 168464-40-2P 168464-41-3P
168464-42-4P 168464-44-6P 168464-45-7P
168464-46-8P 168464-47-9P 168464-49-1P
168464-50-4P 168464-51-5P 168464-52-6P
168464-53-7P 168464-54-8P 168464-60-6P
168464-61-7P 168464-62-8P 168464-63-9P
168464-64-0P 168464-65-1P 168464-68-4P

168464-69-5P 168464-70-8P 168464-72-0P
168464-73-1P 168464-74-2P 168464-75-3P
168464-76-4P 168464-77-5P 168464-78-6P
168464-79-7P 168464-80-0P 168464-81-1P
168464-83-3P 168464-84-4P 168464-85-5P
168464-86-6P 168464-87-7P 168464-88-8P
168464-90-2P 168464-91-3P 168464-92-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine derivs. as inhibitors of cGMP-specific PDE)

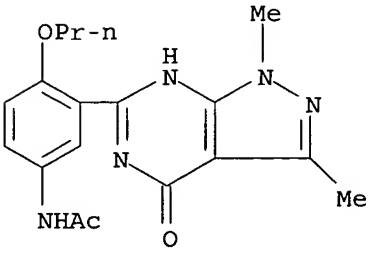
RN 168464-27-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2,5-dipropoxyphenyl)-1,5-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)



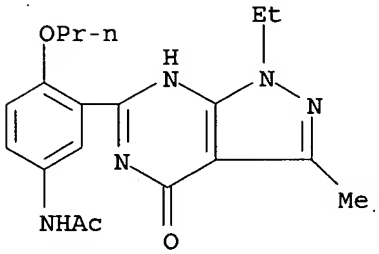
RN 168464-28-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-(2,5-dipropoxyphenyl)-1-ethyl-1,5-dihydro-3-methyl- (9CI) (CA INDEX NAME)



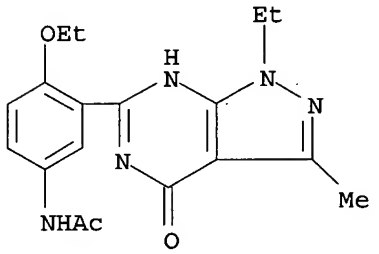
RN 168464-38-8 CAPLUS
CN Acetamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



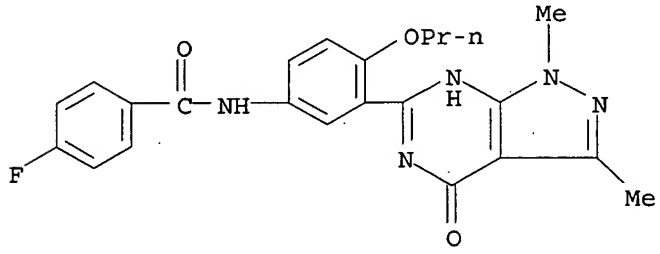
RN 168464-39-9 CAPLUS
CN Acetamide, N-[3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



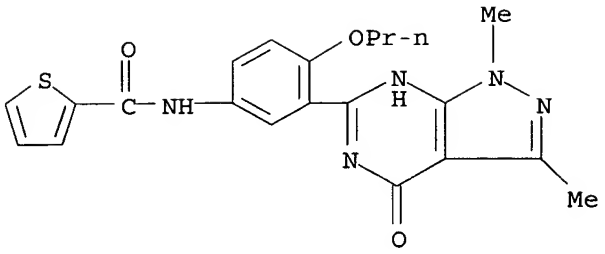
RN 168464-40-2 CAPLUS
CN Acetamide, N-[4-ethoxy-3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)phenyl]- (9CI) (CA INDEX NAME)



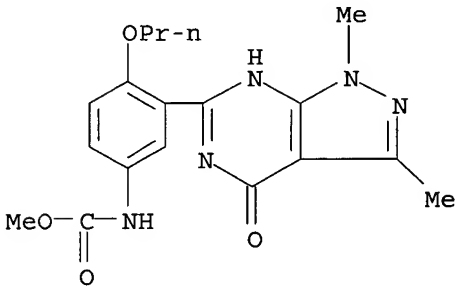
RN 168464-41-3 CAPLUS
CN Benzamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-4-fluoro- (9CI) (CA INDEX NAME)



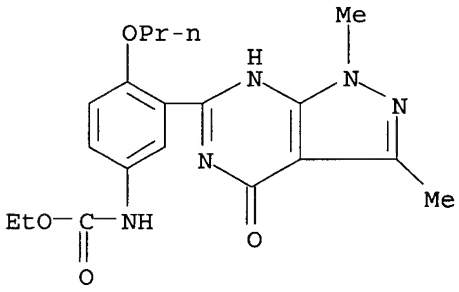
RN 168464-42-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



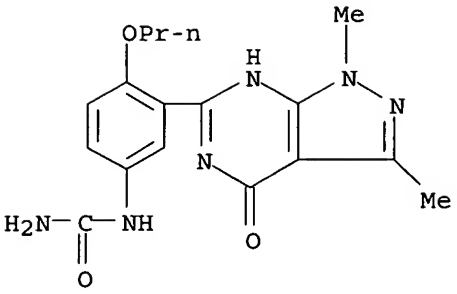
RN 168464-44-6 CAPLUS
CN Carbamic acid, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-, methyl ester (9CI) (CA INDEX NAME)



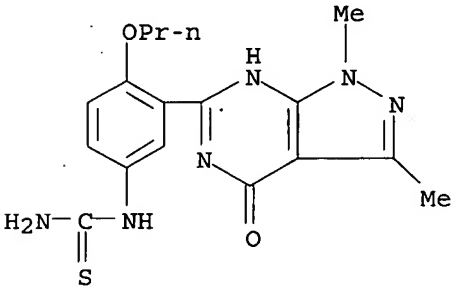
RN 168464-45-7 CAPLUS
CN Carbamic acid, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-, ethyl ester (9CI) (CA INDEX NAME)



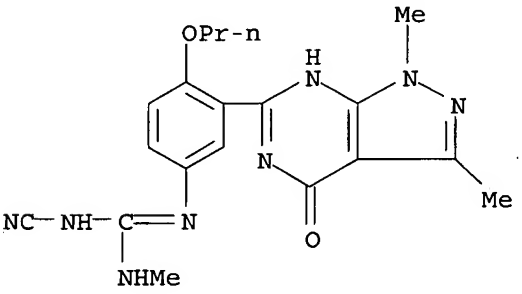
RN 168464-46-8 CAPLUS
CN Urea, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



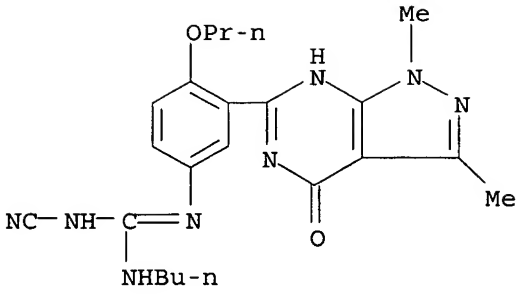
RN 168464-47-9 CAPLUS
CN Thiourea, [3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



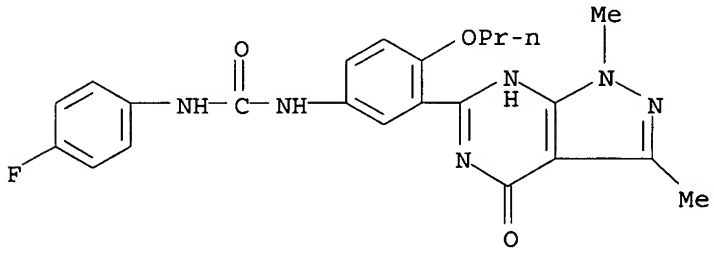
RN 168464-49-1 CAPLUS
CN Guanidine, N-cyano-N'-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-N''-methyl- (9CI) (CA INDEX NAME)



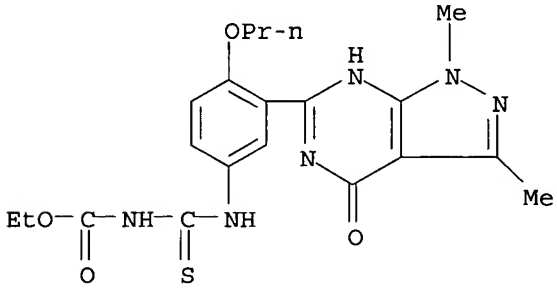
RN 168464-50-4 CAPLUS
CN Guanidine, N-butyl-N'-cyano-N''-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



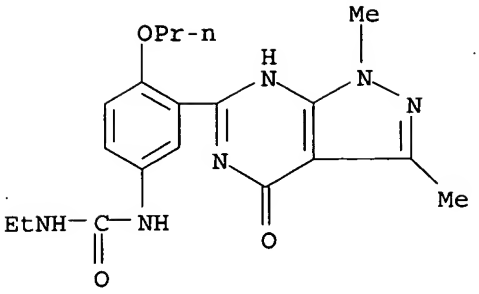
RN 168464-51-5 CAPLUS
CN Urea, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-N'-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



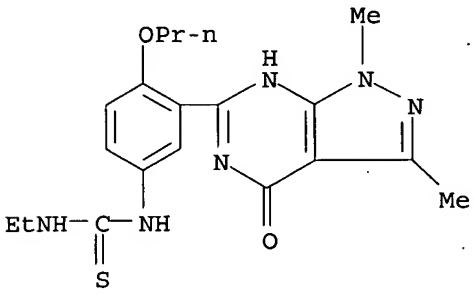
RN 168464-52-6 CAPLUS
CN Carbamic acid, [[[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]amino]thioxomethyl]-, ethyl ester (9CI) (CA INDEX NAME)



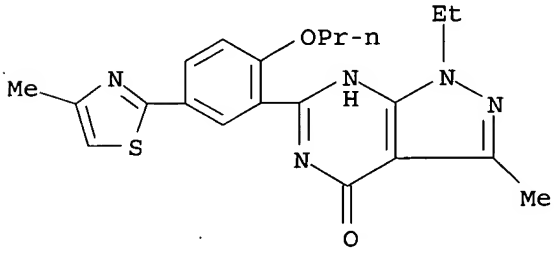
RN 168464-53-7 CAPLUS
CN Urea, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-N'-ethyl- (9CI) (CA INDEX NAME)



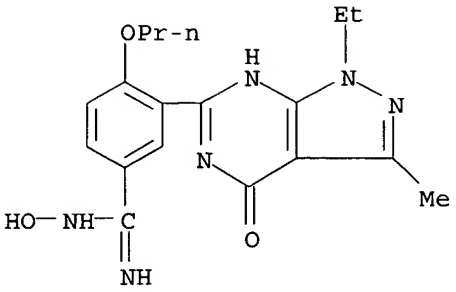
RN 168464-54-8 CAPLUS
CN Thiourea, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-N'-ethyl- (9CI) (CA INDEX NAME)



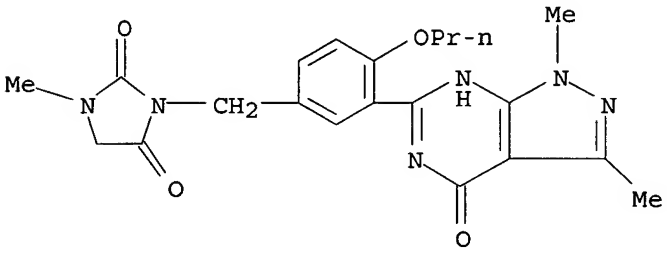
RN 168464-60-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(4-methyl-2-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



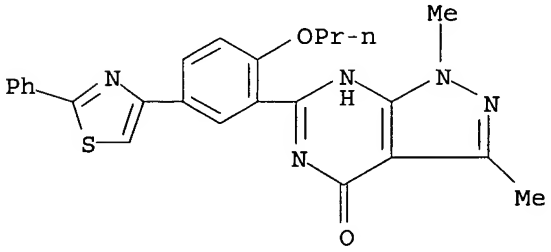
RN 168464-61-7 CAPLUS
CN Benzenecarboximidamide, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-N-hydroxy-4-propoxy- (9CI) (CA INDEX NAME)



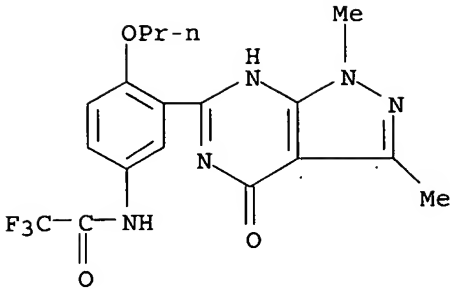
RN 168464-62-8 CAPLUS
CN 2,4-Imidazolidinedione, 3-[[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



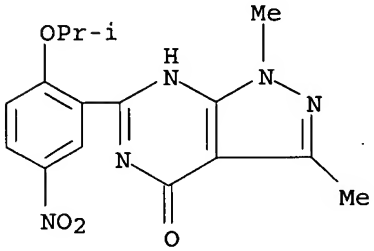
RN 168464-63-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(2-phenyl-4-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



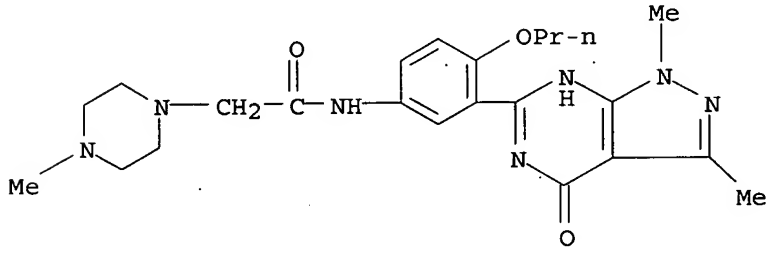
RN 168464-64-0 CAPLUS
CN Acetamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



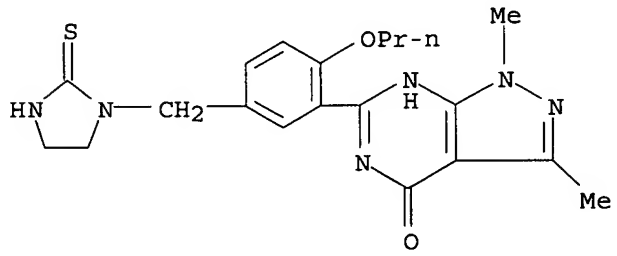
RN 168464-65-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[2-(1-methylethoxy)-5-nitrophenyl]- (9CI) (CA INDEX NAME)



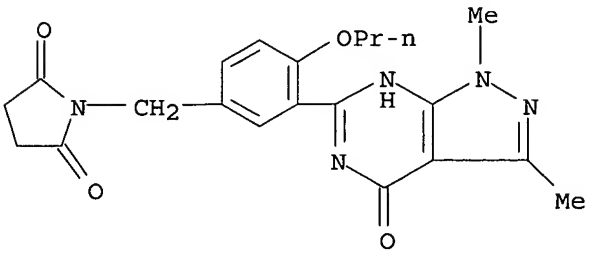
RN 168464-68-4 CAPLUS
CN 1-Piperazineacetamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)



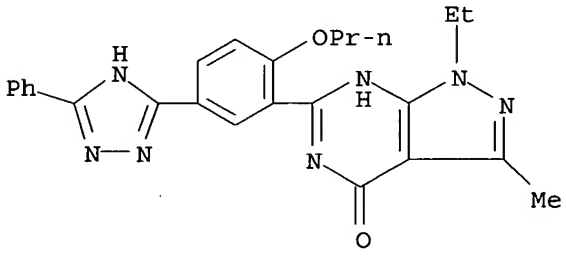
RN 168464-69-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[2-propoxy-5-[(2-thioxo-1-imidazolidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



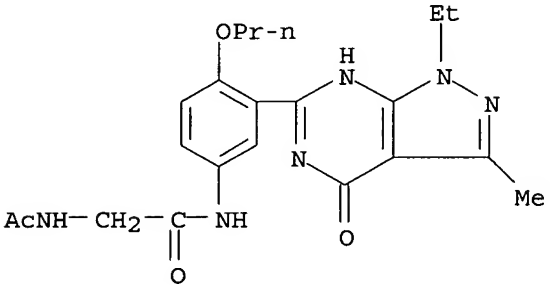
RN 168464-70-8 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]methyl]- (9CI) (CA INDEX NAME)



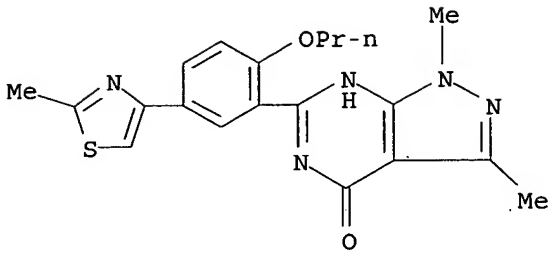
RN 168464-72-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(5-phenyl-1H-1,2,4-triazol-3-yl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



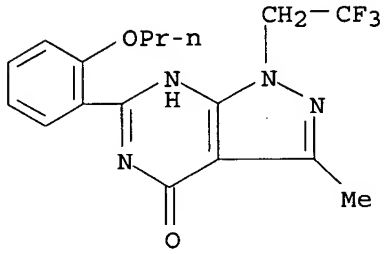
RN 168464-73-1 CAPLUS
CN Acetamide, 2-(acetylamino)-N-[3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxyphenyl]- (9CI) (CA INDEX NAME)



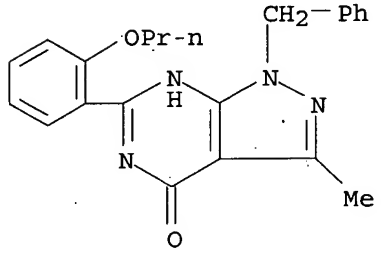
RN 168464-74-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(2-methyl-4-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



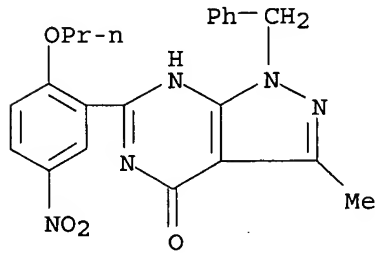
RN 168464-75-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



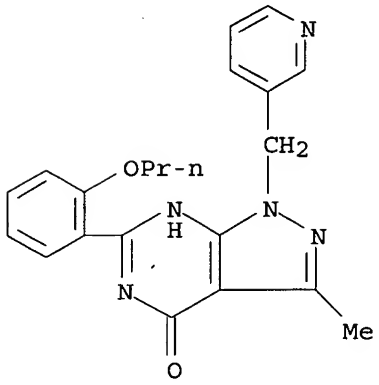
RN 168464-76-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-1-(phenylmethyl)-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



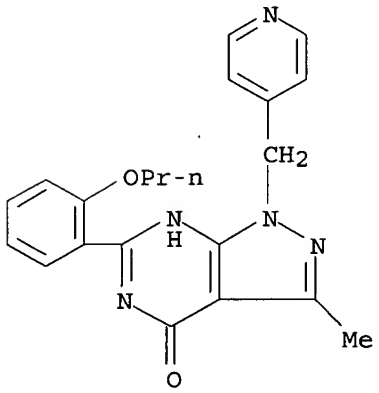
RN 168464-77-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(5-nitro-2-propoxyphenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



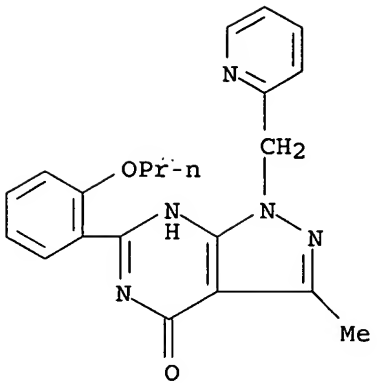
RN 168464-78-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



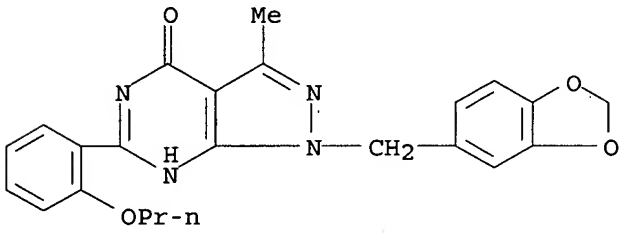
RN 168464-79-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



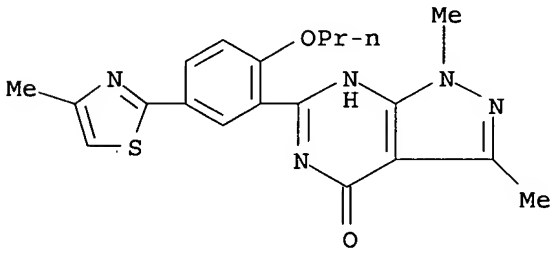
RN 168464-80-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



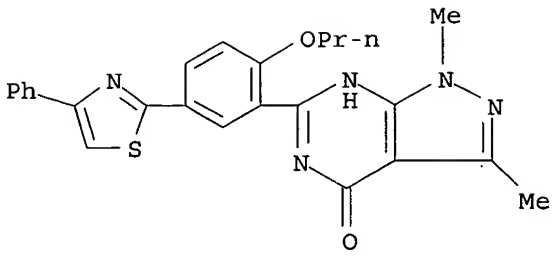
RN 168464-81-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-3-methyl-6-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



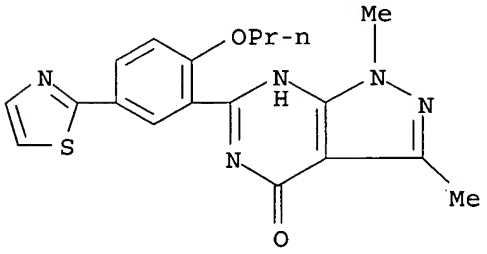
RN 168464-83-3 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(4-methyl-2-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



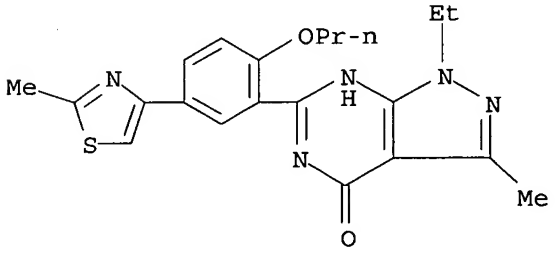
RN 168464-84-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[5-(4-phenyl-2-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



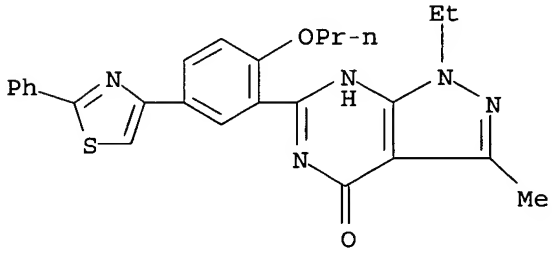
RN 168464-85-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1,3-dimethyl-6-[2-propoxy-5-(2-thiazolyl)phenyl]- (9CI) (CA INDEX NAME)

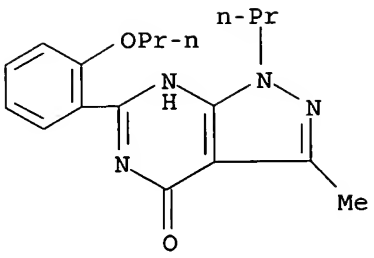


RN 168464-86-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(2-methyl-4-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)



RN 168464-87-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-ethyl-1,5-dihydro-3-methyl-6-[5-(2-phenyl-4-thiazolyl)-2-propoxyphenyl]- (9CI) (CA INDEX NAME)





L11 ANSWER 12 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2004:215986 USPATFULL
TITLE:	Use of PDE V inhibitors for improved fecundity in mammals
INVENTOR(S):	Westbrook, Simon Lempriere, County of Kent, UNITED KINGDOM Zanzinger, Johannes Fridrich, County of Kent, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004167095	A1	20040826
APPLICATION INFO.:	US 2004-778866	A1	20040212 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-229534, filed on 27 Aug 2002, GRANTED, Pat. No. US 6743799 Continuation of Ser. No. US 2001-982445, filed on 18 Oct 2001, GRANTED, Pat. No. US 6548508		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-25782	20001020
	US 2000-253338P	20001128 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Kohn & Associates, PLLC, Suite 410, 30500 Northwestern Hwy., Farmington Hills, MI, 48334
NUMBER OF CLAIMS:	25
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	4 Drawing Page(s)
LINE COUNT:	1107

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

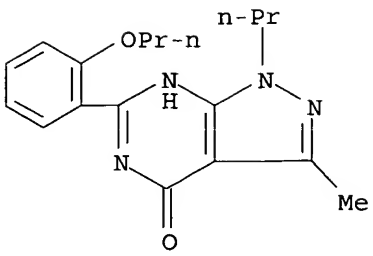
AB The invention relates to the use of a cyclic guanosine 3',5'-monophosphate phosphodiesterase type five (cGMP PDE V) inhibitor for increasing fecundity in a mammal by one or more of (a) promoting the growth of an oocyte, zygote, blastocyst, embryo and/or fetus, (b) increasing the rate or probability of survival of an embryo and/or fetus and (c) increasing the birth weight of a progeny, or for increasing milk productivity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **148872-11-1**
(use of PDE V inhibitors for improved fecundity in mammals)

RN 148872-11-1 USPATFULL

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



L11 ANSWER 13 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2002:144280 USPATFULL
TITLE:	Pyrazolopyrimidinone CGMP PDE5 inhibitors for the treatment of sexual dysfunction
INVENTOR(S):	Bunnage, Mark Edward, Sandwich, UNITED KINGDOM Mathias, John Paul, Sandwich, UNITED KINGDOM Street, Stephen Derek Albert, Sandwich, UNITED KINGDOM Wood, Anthony, Sandwich, UNITED KINGDOM
PATENT ASSIGNEE(S):	Pfizer Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6407114	B1	20020618	
APPLICATION INFO.:	US 1999-425095		19991022	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-23103	19981023

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Shah, Mukund J.
ASSISTANT EXAMINER:	Balasubramanian, Venkataraman
LEGAL REPRESENTATIVE:	Richardson, Peter C., Benson, Gregg C., Jones, James T.
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT:	2245

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is provided compounds of formula IA and of formula IB, ##STR1##

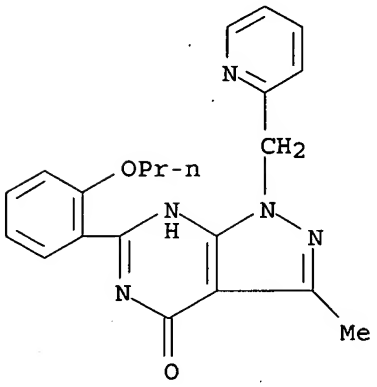
wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4 and A have meanings given in the description, which are useful in the curative and prophylactic treatment of a medical condition for which inhibition of a cyclic guanosine 3',5'-monophosphate phosphodiesterase (e.g. cGMP PDE5) is desired.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

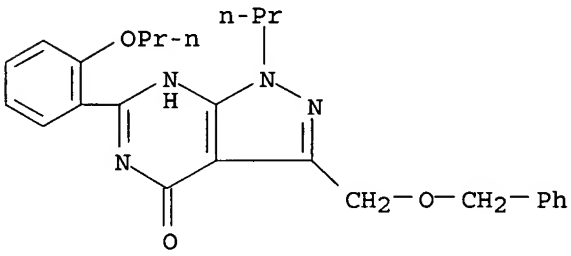
IT **168464-80-0P 264920-04-9P 264920-08-3P**
264920-09-4P 264920-11-8P 264920-13-0P
264920-15-2P 264920-17-4P 264920-18-5P
264920-19-6P
(preparation of pyrazolopyrimidinones as cGMP PDE5 inhibitors for the treatment of sexual dysfunction)

RN 168464-80-0 USPATFULL

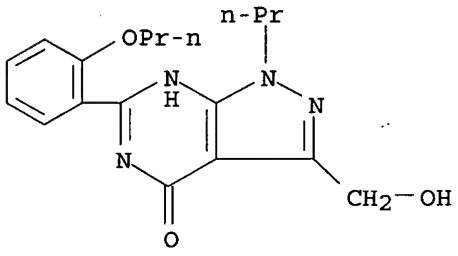
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-methyl-6-(2-propoxyphenyl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



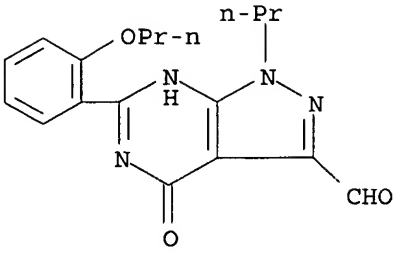
RN 264920-04-9 USPATFULL
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-[(phenylmethoxy)methyl]-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



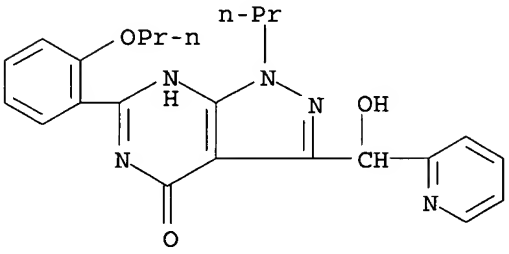
RN 264920-08-3 USPATFULL
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-(hydroxymethyl)-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



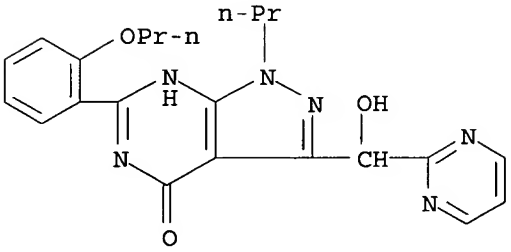
RN 264920-09-4 USPATFULL
CN 1H-Pyrazolo[3,4-d]pyrimidine-3-carboxaldehyde, 4,5-dihydro-4-oxo-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



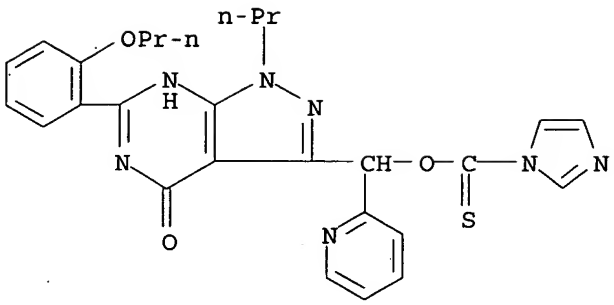
RN 264920-11-8 USPATFULL
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-(hydroxy-2-pyridinylmethyl)-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



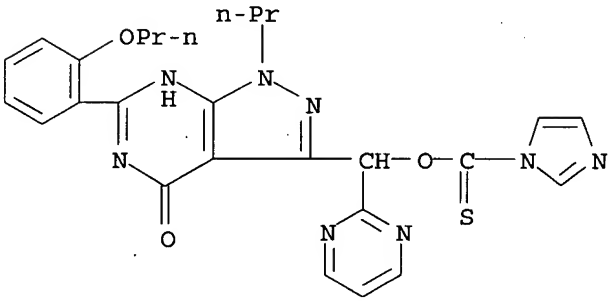
RN 264920-13-0 USPATFULL
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-3-(hydroxy-2-pyrimidinylmethyl)-6-(2-propoxyphenyl)-1-propyl- (9CI) (CA INDEX NAME)



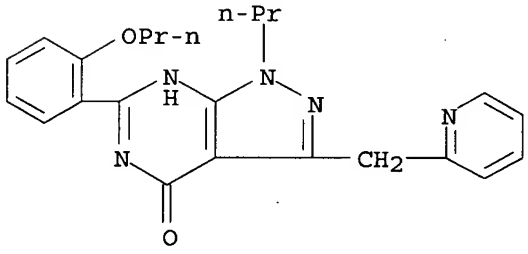
RN 264920-15-2 USPATFULL
CN 1H-Imidazole-1-carbothioic acid, O-[[4,5-dihydro-4-oxo-6-(2-propoxyphenyl)-1-propyl-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-pyridinylmethyl] ester (9CI) (CA INDEX NAME)



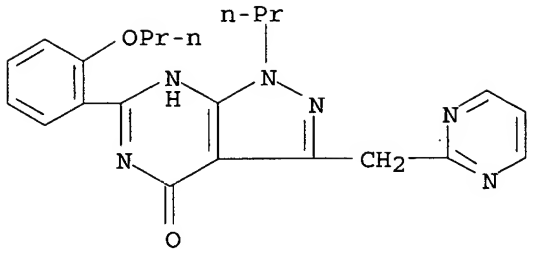
RN 264920-17-4 USPATFULL
CN 1H-Imidazole-1-carbothioic acid, O-[[4,5-dihydro-4-oxo-6-(2-propoxyphenyl)-1-propyl-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-pyrimidinylmethyl] ester (9CI) (CA INDEX NAME)



RN 264920-18-5 USPATFULL
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-(2-propoxyphenyl)-1-propyl-3-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 264920-19-6 USPATFULL
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-(2-propoxyphenyl)-1-propyl-3-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)


n-Pr
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